```
=> fil reg
FILE 'REGISTRY' ENTERED AT 15:47:05 ON 19 AUG 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   18 AUG 2003  HIGHEST RN 569296-21-5
DICTIONARY FILE UPDATES:  18 AUG 2003  HIGHEST RN 569296-21-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que l9
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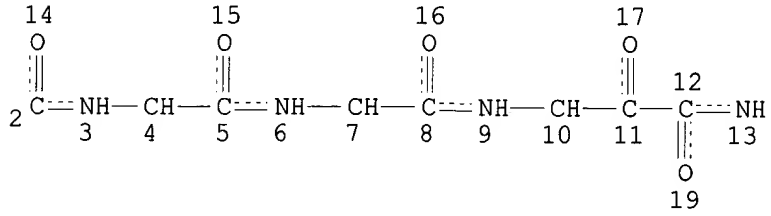

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 17

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=> d sta que l23
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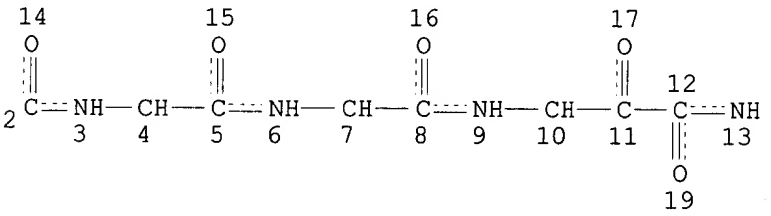

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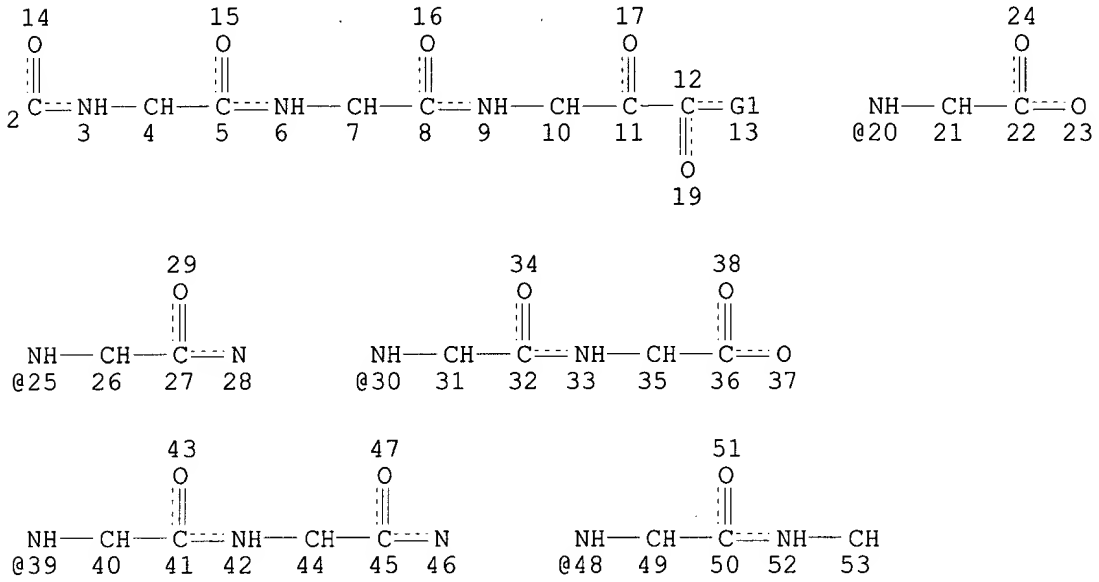

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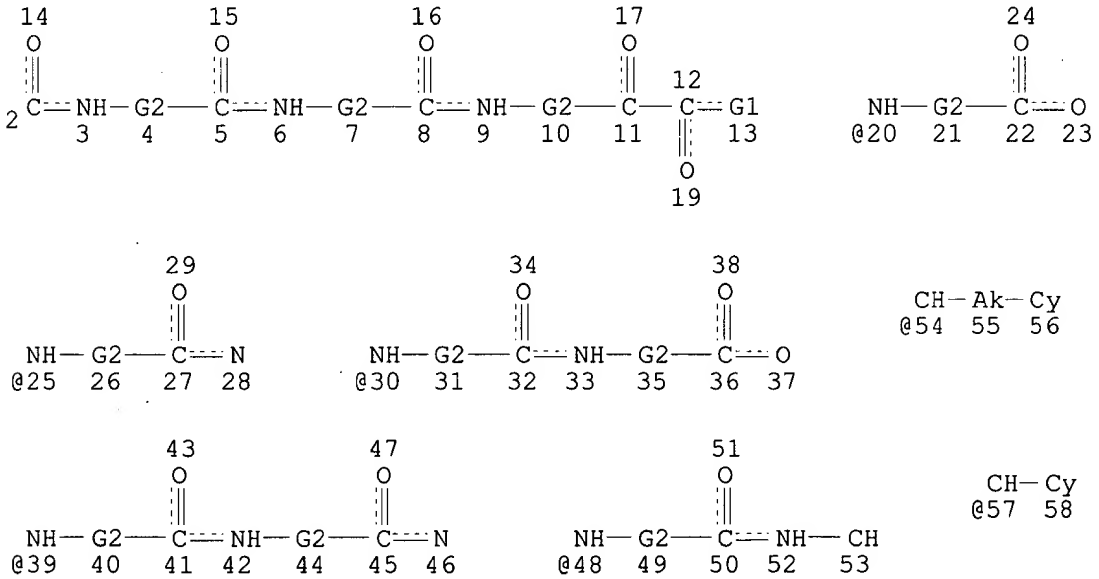

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VAR G2=CH2/60/57/54
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
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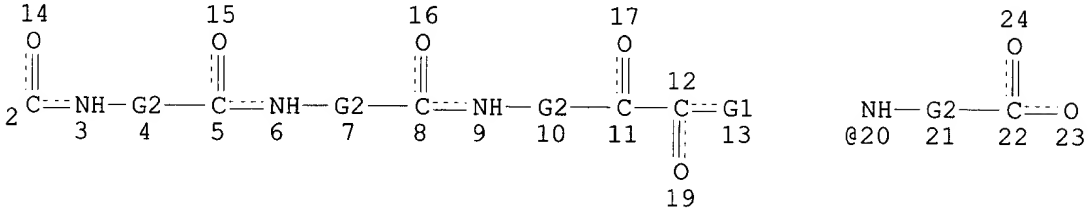

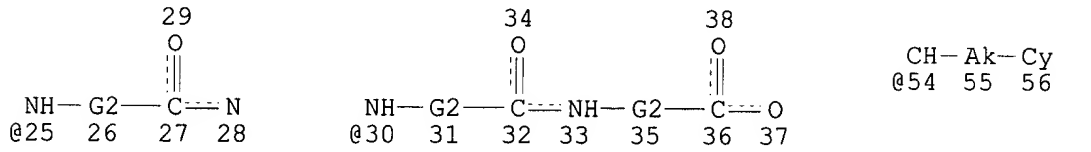

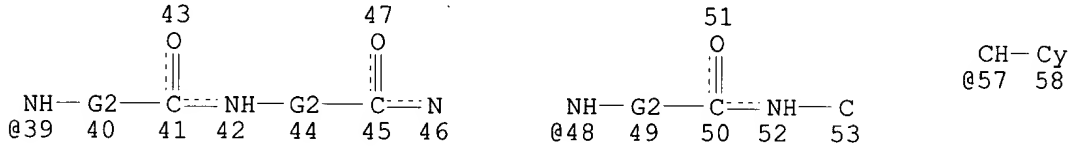

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 58

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 445 ITERATIONS 336 ANSWERS
SEARCH TIME: 00.00.01

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=> d his

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L4   (        177)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND L3
L5   (        132)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 NOT L4
L6   (         16)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND (C34H49N5O11 OR C41H58
L7   (         21)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND SQL/FA NOT L6
L8   (          1)SEA FILE=REGISTRY ABB=ON  PLU=ON  L7 AND C33H54N6O10
L9            194 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L4 OR L6 OR L8)
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               ACT MON909C/A
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L12               STR
L13  (        445)SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14               STR
L15           445 SEA FILE=REGISTRY SUB=L13 SSS FUL L14
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               ACT MON909D/A
               ---------
L16               STR
L17  (        672)SEA FILE=REGISTRY SSS FUL L16
L18               STR
L19  (        445)SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L20               STR
L21  (        445)SEA FILE=REGISTRY SUB=L19 SSS FUL L20
L22               STR
L23           336 SEA FILE=REGISTRY SUB=L21 CSS FUL L22
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L25            68 S L24 NOT L23
L26           200 S L23 NOT L9

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L28            17 S L26
L29            24 S L24,L25
L30             1 S L27 AND L28,L29
L31             2 S L27,L30
L32            23 S L28,L29 NOT L31
L33            18 S L32 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L34             2 S L33 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L35             2 S L33 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L36             2 S L33 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L37             2 S L31 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L38             2 S L31 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L39             2 S L31 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L40             4 S L31,L34-L39
L41            16 S L33 NOT L40
L42             9 S L41 NOT P/DT
L43             7 S L41 NOT L42

     FILE 'REGISTRY' ENTERED AT 15:47:05 ON 19 AUG 2003
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FILE 'HCAPLUS' ENTERED AT 15:47:30 ON 19 AUG 2003
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FILE COVERS 1907 - 19 Aug 2003  VOL 139 ISS 8
FILE LAST UPDATED: 18 Aug 2003  (20030818/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d l40 all fhitstr tot

L40  ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2003 ACS on STN
AN   2002:466030  HCAPLUS
DN   137:47444
TI   Preparation of diaryl peptides as NS3-serine protease inhibitors of
     hepatitis C virus
IN   Zhu, Zhaoning; Sun, Zhong-Yue; Venkatraman, Srikanth;
     Njoroge, F. George; Arasappan, Ashok; Malcolm, Bruce A.;
     Girijavallabhan, Viyyoor M.; Lovey, Raymond G.;
     Chen, Kevin X.
PA   Schering Corporation, USA
SO   PCT Int. Appl., 149 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07K
CC   34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 1, 63
FAN.CNT 1
     PATENT NO.          KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2002048172       A2    20020620      WO 2001-US47383  20011210
     WO 2002048172       A3    20030619
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             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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     AU 2002036591       A5    20020624      AU 2002-36591    20011210
     US 2002147139       A1    20021010      US 2001-13071    20011210
PRAI US 2000-254869P     P     20001212
     WO 2001-US47383     W     20011210
OS   MARPAT 137:47444
GI
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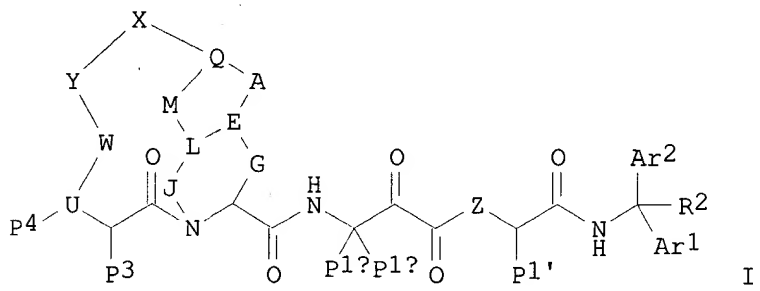

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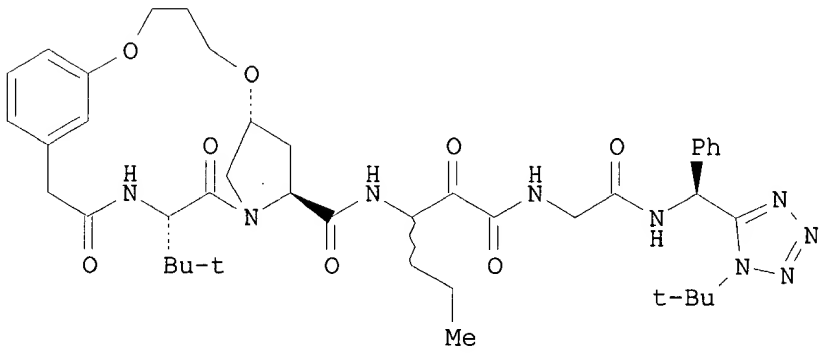

II

AB Title compds. I [X, Y = (cyclo)alkyl, heteroalkyl, (aryl)heteroaryl, alkyl(hetero)aryl, substituted ether, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; W = null, CO, CS, or SO2; Q = null, CH, N, P, alkylene, O, imino, S, or SO2; A = O, CH2, alkylene, imino, S, SO2, or a bond; E = CH or substituted methylidyne, N, or a double bond toward A, L, or G; G = null or alkylene; J = null or alkylene, SO2, imino, or O; L = null or CH or substituted methylidyne, O, S, or imino; M = null or O, imino, S, SO2, or alkylene; P1a, P1b, P1', P3 = H, alkyl, alkenyl, cycloalkyl, heterocyclyl, (cycloalkyl)alkyl, or (heterocyclyl)alkyl; P1aP1bC may form a ring; Z = O or imino; Ar1, Ar2 = (un)substituted Ph, 2-, 3-, or 4-pyridyl or their N-oxides, 2- or 3-furanyl, etc.; P4 = H, alkyl, arylalkyl, or aryl; R2 = H, cyano, CF3, (cyclo)alkyl, aryl, carboxy, etc. (with provisos)] were prepd. as hepatitis C virus (HCV) protease inhibitors. Thus, compd. II was prepd. by a multi-step procedure and showed Ki = 100-999 nM for inhibition of serine protease.

ST aryl peptide prepn NS3 serine protease inhibitor; peptide diaryl prepn hepatitis C treatment

IT Hepatitis
(C, treatment; prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Antiviral agents
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 149885-80-3, Ns3 serine protease

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT **393580-15-9P 437768-05-3P 437768-06-4P**
437768-07-5P **437768-08-6P** 437768-09-7P 437768-10-0P
437768-11-1P 437768-12-2P 437768-13-3P 437768-14-4P
437768-15-5P 437768-16-6P 437768-17-7P 437768-18-8P 437768-19-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 91-00-9, Benzhydrylamine 98-58-8, 4-Bromobenzenesulfonyl chloride 109-80-8, 1,3-Propanedithiol 135-00-2, 2-Benzoylthiophene 621-37-4, 3-Hydroxyphenylacetic acid 2689-59-0, 2-Benzoylfuran 5680-79-5, Glycine methyl ester hydrochloride 7210-75-5, 2-Benzoylthiazole 13726-69-7 35264-05-2 54314-84-0, Benzyl 3-bromopropyl ether 62965-35-9 86992-84-9 141621-25-2 166196-06-1 216378-84-6 367258-52-4 437768-51-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

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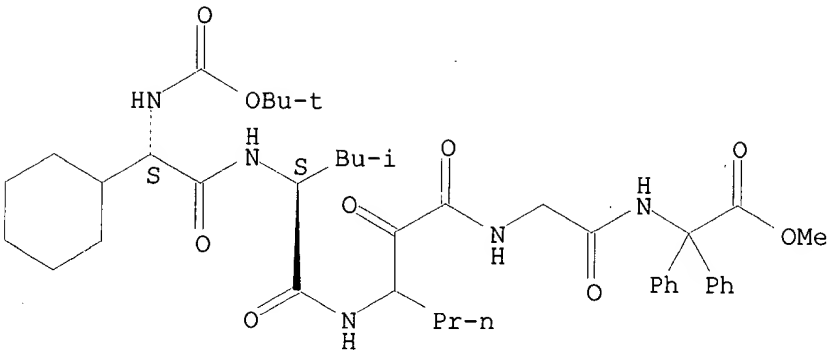
437768-41-7P 437768-42-8P 437768-43-9P 437768-44-0P 437768-45-1P
437768-46-2P 437768-47-3P 437768-48-4P 437768-49-5P 437768-50-8P
437768-52-0P 437768-53-1P 437768-54-2P 437768-55-3P 437768-56-4P
437768-57-5P 437768-58-6P 438041-76-0P 438041-77-1P 438041-78-2P
438041-79-3P 438041-80-6P 438041-81-7P 438041-82-8P 438041-83-9P
438041-84-0P 438041-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT **393580-15-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393580-15-9 HCAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2,2-diphenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:90074 HCAPLUS
DN 136:151440
TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus
IN **Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank ; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George; Ganguly, Ashit K.;** Brunck, Terence K.; **Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita**
PA **Schering Corporation, USA; Corvas International, Inc.**
SO PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K014-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OS MARPAT 136:151440
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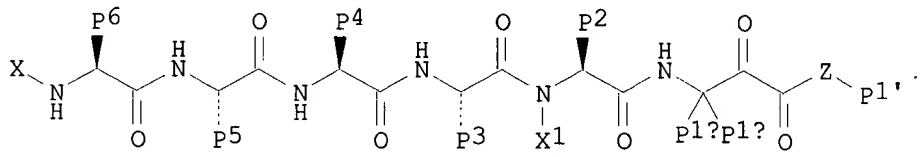

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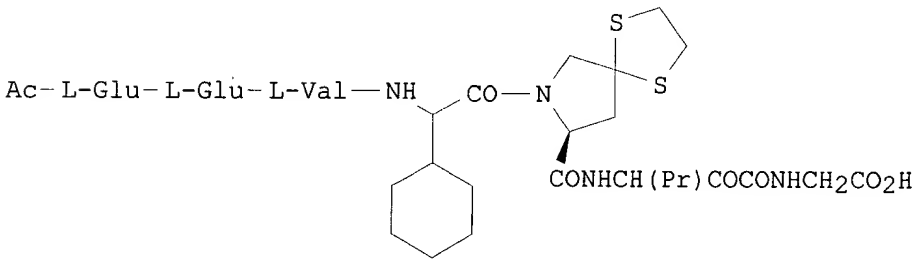

II

AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclylsulfonyl, heterocyclylalkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, heterocyclyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, alkyaminocarbonyl, heterocyclylaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepd. via peptide coupling in soln. and showed Ki = 1-100 nM for inhibition of HCV protease.

ST peptide prepn NS3 serine protease inhibitor; hepatitis C virus treatment peptide

IT Hepatitis
(C, treatment; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Antiviral agents
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha., pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 149885-80-3, NS3-NS4A protease

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 393519-93-2P 393520-05-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

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394203-34-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 91-00-9, Diphenylmethylamine 98-79-3, L-Pyroglutamic acid 106-95-6, Allyl bromide, reactions 109-80-8, 1,3-Propanedithiol 618-27-9 870-46-2, tert-Butyl carbazate 2746-25-0, p-Methoxybenzyl bromide 2999-46-4, Ethyl isocyanoacetate 5437-45-6, Benzyl bromoacetate 7188-38-7, tert-Butyl isocyanide 13726-69-7 53308-95-5 64187-48-0 71989-28-1 138021-87-1 166196-06-1 216378-84-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

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393525-45-6P 394203-35-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT **393521-77-2P**

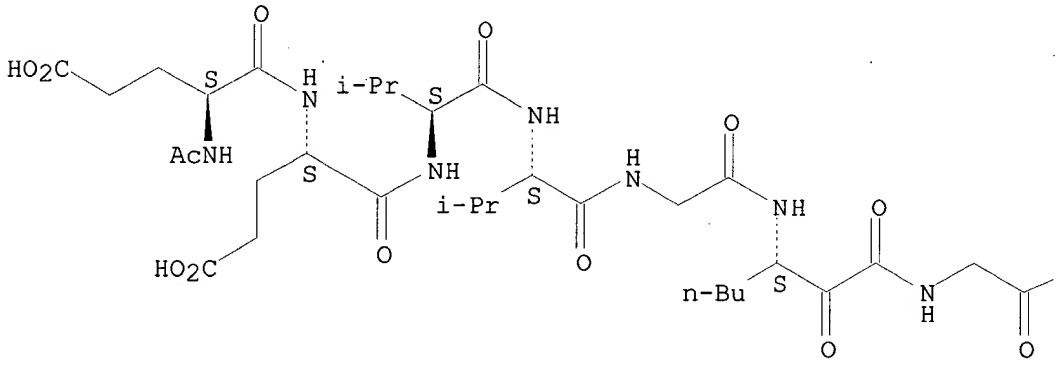
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393521-77-2 HCAPLUS

CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valylglycyl-(3S)-3-amino-2-oxoheptanoyl-, 7-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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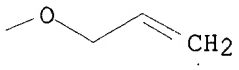

L40 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:90062 HCAPLUS
DN 136:167698
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
IN **Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank ; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George ; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama;** Wu, Wanli; Hendrata, Siska; Huang, Yuhua; **Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita ; Tamura, Susan Y.**
PA **Schering Corporation, USA; Corvas International, Inc.**
SO PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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OS MARPAT 136:167698
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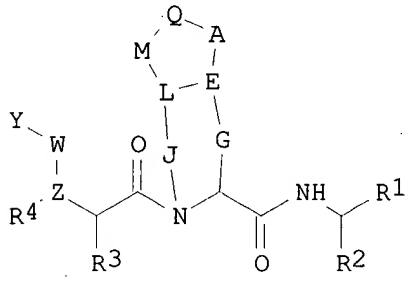

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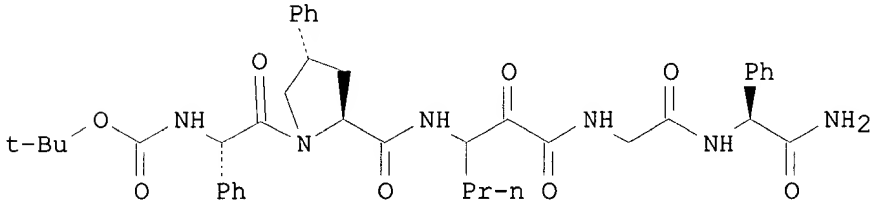

II

AB Peptides I were prepd. wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for prepg. such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assocd. with the HCV protease. Thus peptide II was prepd. and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manuf. of a medicament for treating HCV, AIDS, and related disorders.

ST peptide prepn protease inhibitor hepatitis C virus antiviral AIDS

IT Anti-AIDS agents
Antiviral agents
Hepatitis C virus
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 149885-80-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 172222-30-9

RL: CAT (Catalyst use); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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394728-50-8P 394728-53-1P 394728-54-2P 394728-56-4P 394729-80-7P
394731-63-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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394721-86-9P 394721-87-0P 394721-88-1P 394721-89-2P 394721-90-5P
394721-91-6P 394721-92-7P 394721-93-8P 394721-94-9P 394721-95-0P
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394722-01-1P 394722-02-2P 394722-03-3P 394722-04-4P 394722-05-5P
394722-06-6P 394722-07-7P 394722-08-8P 394722-09-9P 394722-10-2P
394722-11-3P 394722-12-4P 394722-13-5P 394722-14-6P 394722-15-7P
394722-16-8P 394722-17-9P 394722-18-0P 394722-19-1P 394722-20-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C

virus)

IT 394722-21-5P 394722-22-6P 394722-23-7P 394722-24-8P 394722-25-9P
394722-26-0P 394722-28-2P 394722-30-6P 394722-32-8P 394722-34-0P
394722-36-2P 394722-39-5P 394722-41-9P 394722-43-1P 394722-45-3P
394722-47-5P 394722-58-8P 394722-60-2P 394722-63-5P 394722-65-7P
394722-66-8P 394722-67-9P 394722-68-0P 394722-69-1P 394722-70-4P
394722-71-5P 394722-72-6P 394722-73-7P 394722-74-8P 394722-75-9P
394722-76-0P 394722-77-1P 394722-78-2P 394722-79-3P 394722-80-6P
394722-81-7P 394722-82-8P 394722-83-9P 394722-84-0P 394722-85-1P
394722-86-2P 394722-87-3P 394722-88-4P 394722-89-5P 394722-90-8P
394722-91-9P 394722-92-0P 394722-93-1P 394722-94-2P 394722-95-3P
394722-96-4P 394722-97-5P 394722-98-6P 394722-99-7P 394723-00-3P
394723-01-4P 394723-02-5P 394723-03-6P 394723-04-7P 394723-05-8P
394723-06-9P 394723-07-0P 394723-08-1P 394723-09-2P 394723-10-5P
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394723-16-1P 394723-17-2P 394723-18-3P 394723-19-4P 394723-20-7P
394723-21-8P 394723-22-9P 394723-23-0P 394723-24-1P 394723-25-2P
394723-26-3P 394723-27-4P 394723-28-5P 394723-29-6P 394723-30-9P
394723-31-0P 394723-32-1P 394723-33-2P 394723-34-3P 394723-35-4P
394723-36-5P 394723-37-6P 394723-38-7P 394723-39-8P 394723-40-1P
394723-41-2P 394723-42-3P 394723-43-4P 394723-44-5P 394723-45-6P
394723-46-7P 394723-47-8P 394723-48-9P 394723-49-0P 394723-50-3P
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394723-56-9P 394723-57-0P 394723-58-1P 394723-59-2P 394723-60-5P
394723-61-6P 394723-62-7P 394723-63-8P 394723-64-9P 394723-64-9P
394723-65-0P 394723-66-1P 394723-67-2P 394723-68-3P 394723-69-4P
394723-70-7P 394723-73-0P 394723-74-1P 394723-75-2P 394723-76-3P
394723-77-4P 394723-78-5P 394723-79-6P 394723-80-9P 394723-81-0P
394723-82-1P 394723-83-2P 394723-84-3P 394723-86-5P 394723-87-6P
394723-88-7P 394723-89-8P 394723-90-1P 394723-91-2P 394723-92-3P
394723-93-4P 394723-94-5P 394723-95-6P 394723-96-7P 394723-97-8P
394723-98-9P 394723-99-0P 394724-00-6P 394724-01-7P 394724-02-8P
394724-03-9P 394724-04-0P 394724-05-1P 394724-06-2P 394724-07-3P
394724-08-4P 394724-09-5P 394724-10-8P 394724-11-9P 394724-12-0P
394724-13-1P 394724-14-2P 394724-15-3P 394724-16-4P 394724-17-5P
394724-18-6P 394724-19-7P 394724-20-0P 394724-21-1P
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394724-26-6P 394724-27-7P 394724-28-8P 394724-29-9P 394724-31-3P
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394724-37-9P 394724-38-0P 394724-39-1P 394724-40-4P 394724-41-5P
394724-42-6P 394724-43-7P 394724-44-8P 394724-45-9P 394724-46-0P
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394724-52-8P 394724-53-9P 394724-54-0P 394724-56-2P 394724-57-3P
394724-58-4P 394724-59-5P 394724-60-8P 394724-61-9P 394724-62-0P
394724-63-1P 394724-64-2P 394724-65-3P 394724-66-4P 394724-70-0P
394724-72-2P 394724-74-4P 394724-75-5P 394724-78-8P 394724-80-2P
394724-81-3P 394724-82-4P 394724-83-5P 394724-84-6P 394724-85-7P
394724-86-8P 394724-87-9P 394724-88-0P 394724-89-1P 394724-90-4P
394724-91-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 394724-92-6P 394724-93-7P 394724-94-8P 394724-95-9P 394724-96-0P
394724-97-1P 394724-98-2P 394724-99-3P 394725-00-9P 394725-01-0P
394725-02-1P 394725-03-2P 394725-04-3P 394725-05-4P 394725-06-5P
394725-07-6P 394725-08-7P 394725-09-8P 394725-10-1P 394725-11-2P
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394725-26-9P 394725-27-0P 394725-28-1P 394725-29-2P 394725-30-5P
394725-31-6P 394725-32-7P 394725-33-8P 394725-34-9P 394725-35-0P
394725-36-1P 394725-37-2P 394725-39-4P 394725-40-7P 394725-41-8P

394725-43-0P 394725-44-1P 394725-45-2P 394725-46-3P 394725-47-4P
394725-48-5P 394725-49-6P 394725-50-9P 394725-51-0P 394725-52-1P
394725-53-2P 394725-54-3P 394725-55-4P 394725-56-5P 394725-57-6P
394725-58-7P 394725-59-8P 394725-60-1P 394725-61-2P 394725-62-3P
394725-63-4P 394725-64-5P 394725-65-6P 394725-70-3P 394725-73-6P
394725-76-9P 394725-79-2P 394725-82-7P 394725-85-0P 394725-86-1P
394725-87-2P 394725-88-3P 394725-89-4P 394725-90-7P 394725-91-8P
394725-92-9P 394725-93-0P 394725-94-1P 394725-95-2P 394725-96-3P
394725-97-4P 394725-98-5P 394725-99-6P 394726-00-2P 394726-01-3P
394726-02-4P 394726-03-5P 394726-04-6P 394726-05-7P 394726-06-8P
394726-07-9P 394726-08-0P 394726-09-1P 394726-10-4P 394726-11-5P
394726-12-6P 394726-13-7P 394726-14-8P 394726-15-9P 394726-16-0P
394726-17-1P 394726-18-2P 394726-19-3P 394726-20-6P 394726-21-7P
394726-22-8P 394726-23-9P 394726-24-0P 394726-25-1P 394726-26-2P
394726-27-3P 394726-28-4P 394726-29-5P 394726-30-8P 394726-31-9P
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394726-37-5P 394726-38-6P 394726-39-7P 394726-40-0P 394726-41-1P
394726-42-2P 394726-43-3P 394726-44-4P 394726-45-5P 394726-46-6P
394726-47-7P 394726-48-8P 394726-49-9P 394726-50-2P 394726-51-3P
394726-52-4P 394726-53-5P 394726-54-6P 394726-55-7P 394726-56-8P
394726-57-9P 394726-58-0P 394726-59-1P 394726-60-4P 394726-61-5P
394726-62-6P 394726-63-7P 394726-64-8P 394726-65-9P 394726-66-0P
394726-67-1P 394726-68-2P 394726-69-3P 394726-70-6P 394726-71-7P
394726-72-8P 394726-73-9P 394726-74-0P 394726-75-1P 394726-76-2P
394726-77-3P 394726-78-4P 394726-79-5P 394726-80-8P 394726-81-9P
394726-82-0P 394726-83-1P 394726-84-2P 394726-85-3P 394726-86-4P
394726-87-5P 394726-88-6P 394726-89-7P 394726-90-0P 394726-91-1P
394726-92-2P 394726-93-3P 394726-94-4P 394726-95-5P 394726-96-6P
394726-97-7P 394726-98-8P 394726-99-9P 394727-00-5P 394727-01-6P
394727-02-7P 394727-03-8P 394727-04-9P 394727-05-0P 394727-06-1P
394727-07-2P 394727-08-3P 394727-09-4P 394727-10-7P 394727-11-8P
394727-12-9P 394727-13-0P 394727-14-1P 394727-15-2P 394727-16-3P
394727-17-4P 394727-18-5P 394727-19-6P 394727-20-9P 394727-21-0P
394727-22-1P 394727-23-2P 394727-24-3P 394727-25-4P 394727-26-5P
394727-27-6P 394727-28-7P 394727-29-8P 394727-30-1P 394727-31-2P
394727-33-4P 394727-34-5P 394727-35-6P 394727-36-7P 394727-37-8P
394727-38-9P 394727-39-0P 394727-40-3P 394727-41-4P 394727-42-5P
394727-43-6P 394727-44-7P 394727-45-8P 394727-46-9P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 394727-47-0P 394727-48-1P 394727-49-2P 394727-50-5P 394727-51-6P
394727-52-7P 394727-53-8P 394727-54-9P 394727-55-0P 394727-56-1P
394727-57-2P 394727-58-3P 394727-59-4P 394727-60-7P 394727-61-8P
394727-62-9P 394727-63-0P 394727-64-1P 394727-65-2P 394727-66-3P
394727-67-4P 394727-68-5P 394727-69-6P 394727-70-9P 394727-71-0P
394727-73-2P 394727-74-3P 394727-75-4P 394727-76-5P 394727-77-6P
394727-78-7P 394727-79-8P 394727-80-1P 394727-81-2P 394727-82-3P
394727-83-4P 394727-84-5P 394727-85-6P 394727-86-7P 394727-87-8P
394727-88-9P 394727-89-0P 394727-90-3P 394727-91-4P 394727-92-5P
394727-93-6P 394727-94-7P 394727-95-8P 394727-96-9P 394727-97-0P
394727-98-1P 394727-99-2P 394728-00-8P 394728-01-9P 394728-02-0P
394728-03-1P 394728-04-2P 394728-05-3P 394728-06-4P 394728-07-5P
394728-08-6P 394728-09-7P 394728-10-0P 394728-11-1P 394728-12-2P
394728-14-4P 394728-15-5P 394728-17-7P 394728-20-2P 394728-26-8P
394728-28-0P 394728-30-4P 394728-32-6P 394728-34-8P 394728-35-9P
394728-36-0P 394728-37-1P 394728-38-2P 394728-39-3P 394728-41-7P
394728-42-8P 394728-43-9P 394728-44-0P 394728-45-1P 394728-46-2P
394728-47-3P 394728-48-4P 394728-55-3P 394728-57-5P 394728-58-6P
394728-59-7P 394728-60-0P 394728-61-1P 394728-62-2P 394728-63-3P
394728-64-4P 394728-65-5P 394728-66-6P 394728-67-7P 394728-68-8P

394728-69-9P 394728-70-2P 394728-71-3P 394728-72-4P 394728-73-5P
394728-74-6P 394728-75-7P 394728-77-9P 394728-78-0P 394728-79-1P
394728-80-4P 394728-81-5P 394728-82-6P 394728-83-7P 394728-84-8P
394728-85-9P 394728-86-0P 394728-87-1P 394728-88-2P 394728-89-3P
394728-90-6P 394728-91-7P 394728-92-8P 394728-93-9P 394728-94-0P
394728-95-1P 394728-96-2P 394728-97-3P 394728-98-4P 394728-99-5P
394729-00-1P 394729-01-2P 394729-02-3P 394729-03-4P 394729-04-5P
394729-05-6P 394729-06-7P 394729-07-8P 394729-08-9P 394729-09-0P
394729-10-3P 394729-11-4P 394729-12-5P 394729-13-6P 394729-14-7P
394729-15-8P 394729-16-9P 394729-18-1P 394729-19-2P 394729-20-5P
394729-21-6P 394729-22-7P 394729-23-8P 394729-24-9P 394729-25-0P
394729-26-1P 394729-27-2P 394729-28-3P 394729-29-4P 394729-30-7P
394729-31-8P 394729-32-9P 394729-33-0P 394729-34-1P 394729-35-2P
394729-36-3P 394729-37-4P 394729-38-5P 394729-39-6P 394729-40-9P
394729-41-0P 394729-42-1P 394729-43-2P 394729-44-3P 394729-45-4P
394729-46-5P 394729-47-6P 394729-48-7P 394729-49-8P 394729-50-1P
394729-51-2P 394729-52-3P 394729-55-6P 394729-58-9P 394729-64-7P
394729-65-8P 394729-66-9P 394729-67-0P 394729-69-2P 394729-71-6P
394729-73-8P 394729-75-0P 394729-77-2P 394729-81-8P 394729-82-9P
394729-83-0P 394729-84-1P 394729-85-2P 394729-86-3P 394729-87-4P
394729-88-5P 394729-89-6P 394730-38-2P 394730-39-3P 394730-40-6P
394730-41-7P 394730-42-8P 394730-43-9P 394730-44-0P 394730-45-1P
394730-46-2P 394730-47-3P 394730-48-4P 394730-49-5P 394730-50-8P
394730-51-9P 394730-52-0P 394730-53-1P 394730-54-2P 394730-55-3P
394730-56-4P 394730-57-5P 394730-58-6P 394730-59-7P 394730-60-0P
394730-61-1P 394730-62-2P 394730-63-3P 394730-64-4P 394730-65-5P
394730-66-6P 394730-67-7P 394730-68-8P 394730-69-9P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 394730-75-7P 394730-79-1P 394730-80-4P 394730-81-5P 394730-82-6P
394730-83-7P 394730-84-8P 394730-85-9P 394730-86-0P 394730-87-1P
394730-88-2P 394730-89-3P 394730-90-6P 394730-91-7P 394730-92-8P
394730-94-0P 394730-95-1P 394730-96-2P 394730-96-2P 394730-97-3P
394730-97-3P 394731-65-8P 394731-67-0P 394731-69-2P 394731-71-6P
394731-74-9P 394731-75-0P 394731-76-1P 394731-77-2P 394731-79-4P
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394731-91-0P 394731-93-2P 394731-95-4P 394731-97-6P 394731-99-8P
394732-01-5P 394732-03-7P 394732-05-9P 394732-07-1P 394732-09-3P
394732-11-7P 394732-13-9P 394732-15-1P 394732-17-3P 394732-19-5P
394732-21-9P 394732-23-1P 394732-25-3P 394732-27-5P 394732-29-7P
394732-31-1P 394732-33-3P 394732-35-5P 394732-37-7P 394732-39-9P
394732-41-3P 394732-43-5P 394732-45-7P 394732-47-9P 394732-49-1P
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394732-59-3P 394732-60-6P 394732-61-7P 394732-62-8P 394732-63-9P
394732-64-0P 394732-65-1P 394732-66-2P 394732-67-3P 394732-68-4P
394732-69-5P 394732-70-8P 394732-71-9P 394732-72-0P 394732-73-1P
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394732-79-7P 394732-80-0P 394732-81-1P 394732-82-2P 394732-83-3P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

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RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 393581-72-1P 393582-00-8P 394735-69-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C

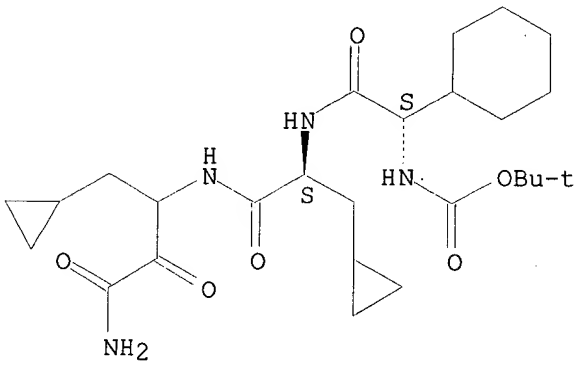
virus)

IT **394724-22-2P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394724-22-2 HCAPLUS

CN L-Alaninamide, (2S)-2-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[3-amino-1-(cyclopropylmethyl)-2,3-dioxopropyl]-3-cyclopropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:90007 HCAPLUS

DN 136:151439

TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

IN **Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok ; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther ; Lim-Wilby, Marguerita; Tamura, Susan Y.**

PA **Schering Corporation, USA; Corvas International, Inc.**

SO PCT Int. Appl., 188 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D209-02
ICS C07D211-04; C07D233-56; C07D317-10; C07D319-04; C07D339-02; C07D339-08; C07C229-00; C07C233-05; C07C271-08; C07C271-32; A61K031-16; A61K031-27; A61K031-195; A61K031-357; A61K031-385; A61K031-403; A61K031-445; A61K031-4164

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008187	A1	20020131	WO 2001-US22813	20010719
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MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL,
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EP 1303487	A1	20030423	EP 2001-959041	20010719	
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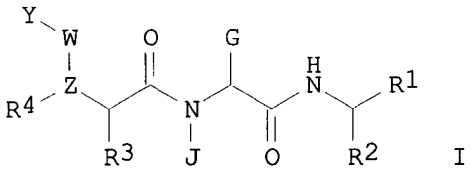

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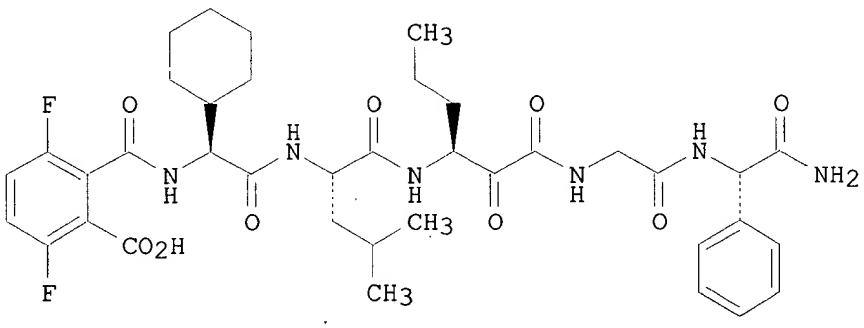


II

AB Novel peptides I [G, J, Y = independently H, alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkoxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkyl-arylamino, arylamino, heteroarylamino, cycloalkylamino, and heterocycloalkylamino; Z = O, N, CH; W = null, CO, CS, SO2; R1 = COR5, B(OR)2; R5 = H, OH, OR8, NR9R10, CF3, C2F5, C3F7, CF2R6, R6, COR7; R7 = H, OH, OR8, CHR9R10, NR9R10; R6, R8-10 = independently H, alkyl, aryl, heteroalkyl, cycloalkyl, arylalkyl, peptide deriv., etc.; R, R2-4 = independently H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, etc.] and their pharmaceutically salts which have hepatitis C virus (HCV) protease inhibitory activity were prepd. via soln. or solid-phase peptide coupling methods. Thus, peptide II was prepd. using solid-phase methods and showed a Ki value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assocd. with the HCV protease.

ST peptide prepn NS3 serine protease inhibitor; hepatitis C virus treatment peptide

IT Antiviral agents

(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Hepatitis C virus
(treatment; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha., pharmaceutical compn. component; prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 36791-04-5, Ribavirin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compn. component)

IT 149885-80-3, NS3 protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT **393580-04-6P 393580-05-7P 393580-06-8P**
393580-07-9P 393580-08-0P 393580-09-1P
393580-10-4P 393580-11-5P 393580-12-6P
393580-13-7P 393580-14-8P 393580-15-9P
393580-16-0P 393580-17-1P 393580-18-2P
393580-19-3P 393580-20-6P 393580-21-7P
393580-22-8P 393580-23-9P 393580-24-0P
393580-25-1P 393580-26-2P 393580-27-3P
393580-28-4P 393580-29-5P 393580-30-8P
393580-31-9P 393580-32-0P 393580-33-1P
393580-34-2P 393580-35-3P 393580-36-4P
393580-37-5P 393580-38-6P 393580-39-7P
393580-40-0P 393580-41-1P 393580-42-2P
393580-43-3P 393580-44-4P 393580-45-5P
393580-46-6P 393580-47-7P 393580-48-8P
393580-49-9P 393580-50-2P 393580-51-3P
393580-52-4P 393580-53-5P 393580-54-6P
393580-55-7P 393580-56-8P 393580-57-9P
393580-58-0P 393580-59-1P 393580-60-4P
393580-61-5P 393580-62-6P 393580-63-7P
393580-64-8P 393580-65-9P 393580-66-0P
393580-69-3P 393580-70-6P 393580-71-7P
393580-72-8P 393580-73-9P 393580-74-0P
393580-75-1P 393580-76-2P 393580-77-3P
393580-78-4P 393580-79-5P 393580-80-8P
393580-81-9P 393580-82-0P 393580-83-1P
393580-84-2P 393580-85-3P 393580-86-4P
393580-87-5P 393580-88-6P 393580-89-7P
393580-90-0P 393580-91-1P 393580-92-2P
393580-93-3P 393580-94-4P 393580-95-5P
393580-96-6P 393580-97-7P 393580-98-8P
393580-99-9P 393581-00-5P 393581-01-6P
393581-02-7P 393581-03-8P 393581-04-9P
393581-05-0P 393581-06-1P 393581-07-2P
393581-08-3P 393581-10-7P 393581-11-8P
393581-12-9P 393581-13-0P 393581-14-1P
393581-15-2P 393581-16-3P 393581-17-4P

393581-18-5P 393581-19-6P 393581-20-9P
393581-77-6P 393581-82-3P 393582-01-9P
393582-02-0P 393582-03-1P 393582-04-2P
393582-05-3P 393582-06-4P 393582-07-5P
393582-08-6P 393582-09-7P 393582-10-0P
393582-11-1P 393582-12-2P 393582-13-3P
393582-14-4P 393582-15-5P 393582-16-6P
393582-17-7P 393582-18-8P 393582-19-9P
393582-20-2P 393582-21-3P 393582-22-4P
393582-23-5P 393582-24-6P 393582-25-7P
393582-26-8P 393582-27-9P **393582-28-0P**
393582-29-1P 393582-30-4P 393582-31-5P
393582-32-6P 393582-33-7P 393582-34-8P
393582-35-9P 393582-36-0P 393582-37-1P
393582-38-2P 393582-39-3P 393582-40-6P
393582-41-7P 393582-42-8P 393582-43-9P
393582-44-0P 393582-45-1P 393582-47-3P
393582-48-4P 393582-49-5P 393582-50-8P
393582-51-9P 393582-52-0P 393582-53-1P
393582-54-2P 393582-55-3P 393582-56-4P
393582-57-5P 393582-58-6P 393817-40-8P
394203-62-4P 394203-63-5P 394203-64-6P
394203-67-9P 394203-68-0P 394203-69-1P
394203-70-4P 394203-71-5P 394203-75-9P
394203-76-0P 394203-77-1P 394204-32-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 91-00-9, Diphenylmethylamine 96-81-1 106-95-6, Allyl bromide, reactions 120-14-9 543-27-1, Isobutyl chloroformate 627-05-4, 1-Nitrobutane 652-40-4, 3,6-Difluorophthalic anhydride 870-46-2, tert-Butyl carbazate 2462-31-9 2762-32-5, 2-Piperazinecarboxylic acid 2900-27-8 2935-35-5 2999-46-4, Ethyl isocyanoacetate 4530-20-5 13211-31-9 35264-05-2 35661-40-6 35661-60-0 50305-43-6 53934-78-4 55447-00-2 55516-54-6 58438-04-3 98541-64-1 102410-65-1 109183-71-3 135112-28-6 143935-63-1 161321-36-4 270587-81-0 393581-87-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 6485-52-5DP, resin-bound 41487-04-1P 58948-98-4P 60079-51-8P 64835-38-7P 76203-43-5P 137381-03-4P 143978-92-1P 150908-38-6P 151275-26-2P 166196-05-0P 166196-06-1P 181955-79-3P 276888-16-5P 276888-17-6P 276888-38-1P 276888-55-2P 276888-56-3P 367258-42-2P 367258-43-3P 367258-44-4P 367258-45-5P 367258-46-6P 367258-47-7P 367259-26-5P 367259-52-7P 367260-51-3P 371111-94-3P 371112-18-4P 371112-23-1P 393581-24-3P 393581-25-4P 393581-26-5P 393581-27-6P 393581-28-7P 393581-29-8P 393581-30-1P 393581-31-2P 393581-32-3P **393581-33-4P** 393581-34-5P 393581-35-6P 393581-36-7P **393581-37-8P 393581-38-9P** 393581-40-3P 393581-41-4P 393581-42-5P 393581-43-6P 393581-44-7P **393581-45-8P** 393581-46-9P 393581-47-0P 393581-48-1P **393581-49-2P** **393581-50-5P** 393581-51-6DP, resin-bound 393581-52-7DP, resin-bound 393581-53-8P 393581-54-9P 393581-55-0P 393581-56-1P 393581-57-2P 393581-58-3P **393581-59-4P** 393581-60-7P 393581-61-8P 393581-62-9P 393581-63-0P **393581-64-1P** 393581-65-2P 393581-66-3P 393581-67-4P 393581-68-5P **393581-69-6P** 393581-70-9P 393581-71-0P 393581-72-1P 393581-73-2DP, resin-bound 393581-74-3DP, resin-bound 393581-75-4DP, resin-bound 393581-76-5DP, resin-bound **393581-77-6DP,** resin-bound 393581-78-7DP, resin-bound 393581-79-8DP, resin-bound

393581-80-1DP, resin-bound 393581-81-2DP, resin-bound
393581-82-3DP, resin-bound 393582-00-8P 394203-72-6P
394203-73-7P 394203-74-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

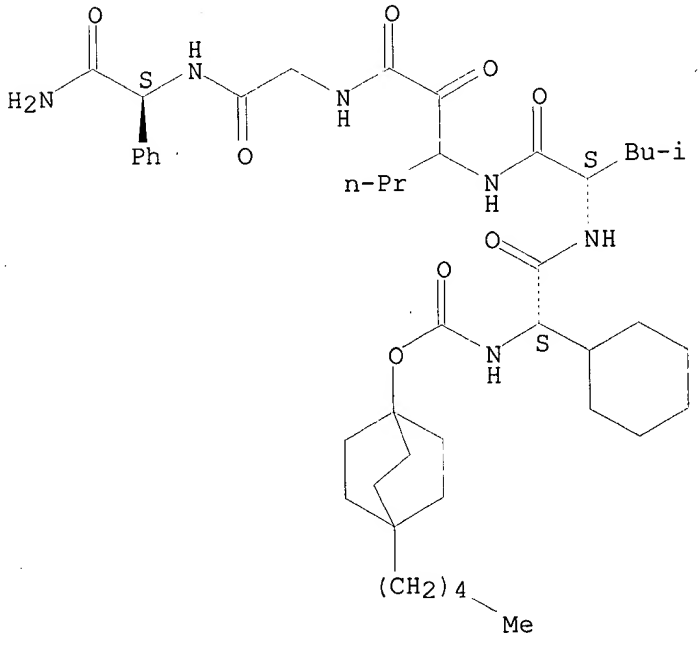
RE

(1) F Hoffmann-La Roche Ag; WO 9822496 A2 1998 HCAPLUS
(2) Hanson; US 5488067 A 1996 HCAPLUS
(3) Powers; US 5514694 A 1996 HCAPLUS

IT **393580-04-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393580-04-6 HCAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[[(4-pentylbicyclo[2.2.2]oct-1-yl)oxy]carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



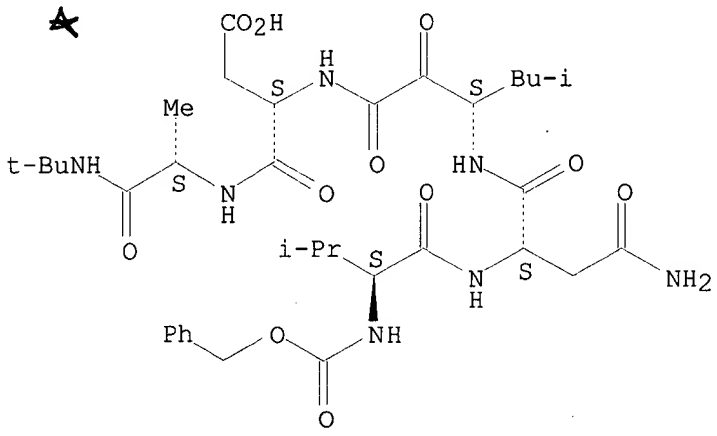
=> d all hitstr tot 142

L42 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:74126 HCAPLUS
DN 132:260167
TI Inhibitors of .beta.-amyloid formation based on the .beta.-secretase cleavage site
AU Abbenante, G.; Kovacs, D. M.; Leung, D. L.; Craik, D. J.; Tanzi, R. E.; Fairlie, D. P.
CS Centre for Drug Design and Development, University of Queensland, Brisbane, 4072, Australia

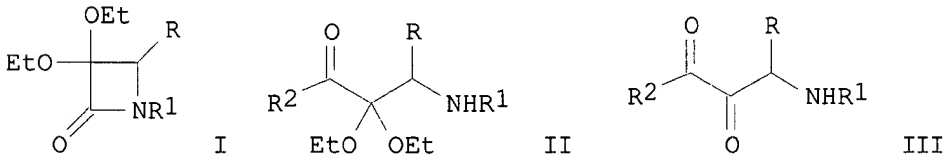
SO Biochemical and Biophysical Research Communications (**2000**), 268(1), 133-135
CODEN: BBRCA9; ISSN: 0006-291X
PB Academic Press
DT Journal
LA English
CC 1-3 (Pharmacology)
AB A series of inhibitors of .beta.-amyloid formation have been developed based on the .beta.-secretase cleavage site (VNL-DA) of the Swedish mutant Amyloid Precursor Protein. A simple tripeptide aldehyde was found to be the most potent (IC50 = 700 nM) in the series displaying an inhibitory profile which is different from reported inhibitors of .beta.-amyloid formation. (c) 2000 Academic Press.
ST beta amyloid inhibitor secretase cleavage site; amyloid precursor protein cleavage inhibitor; Alzheimer disease beta amyloid secretase inhibitor
IT Structure-activity relationship
(enzyme-inhibiting; inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
IT Amyloid precursor proteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
IT Amyloid
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(.beta.-; inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
IT 263563-02-6 263563-03-7 263563-04-8 263563-05-9 263563-06-0
263563-07-1 263563-08-2 263563-09-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
IT 158736-49-3, .beta.-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Citron, M; Nat Med 1997, V3, P67 HCAPLUS
(2) Citron, M; Neuron 1995, V14, P661 HCAPLUS
(3) Citron, M; Proc Natl Acad Sci 1996, V93, P13170 HCAPLUS
(4) Dovey, H; Canadian Patent Application 1995 HCAPLUS
(5) Felsenstein, K; US 5703129 1997 HCAPLUS
(6) Fieser, L; Reagents for Organic Synthesis 1967, V1
(7) Glenner, G; Biochem Biophys Res Commun 1984, V120, P885 HCAPLUS
(8) Hardy, J; Proc Natl Acad Sci 1997, V94, P2095 HCAPLUS
(9) Higaki, J; Neuron 1995, V14, P651 HCAPLUS
(10) Hussain, I; Mol Cell Neurosci 1999, V14, P419 HCAPLUS
(11) Jarrett, J; Biochemistry 1993, V42, P4693
(12) Klafki, H; J Biol Chem 1996, V271, P28655 HCAPLUS
(13) Koo, E; J Biol Chem 1994, V269, P17386 HCAPLUS
(14) McDonald, I; US 5703129 1998 HCAPLUS
(15) Paganetti, P; J Neurosci Res 1996, V46, P283 HCAPLUS
(16) Schenk, D; J Med Chem 1995, V38, P4141 HCAPLUS
(17) Sinha, S; Nature 1999, V402, P537 HCAPLUS
(18) Varghese, J; Annual Reports in Medicinal Chemistry 1997, V32, P12
(19) Vassar, R; Science 1999, V286, P735 HCAPLUS
(20) Wolfe, M; J Med Chem 1998, V41, P6 HCAPLUS
(21) Yan, R; Nature 1999, V402, P533 HCAPLUS
(22) Zhang, L; J Biol Chem 1999, V274, P8966 HCAPLUS

IT **263563-07-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors of .beta.-amyloid formation based on .beta.-secretase cleavage site of amyloid precursor protein)
RN 263563-07-1 HCAPLUS
CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-L-asparaginyl-(3S)-3-amino-5-methyl-2-oxohexanoyl-L-.alpha.-aspartyl-N-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:180641 HCAPLUS
DN 130:267755
TI Solid and solution phase synthesis of .alpha.-keto amides via azetidinone ring-opening: application to the synthesis of poststatin
AU Khim, Seock-Kyu; Nuss, John M.
CS Chiron Corporation, Emeryville, CA, 94608-2916, USA
SO Tetrahedron Letters (**1999**), 40(10), 1827-1830
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
OS CASREACT 130:267755
GI



AB 3,3-Diethoxy-N-sulfonyl- and -carbamoylazetidin-2-ones I [R = Ph, Et; R1 = tosyl (Ts), allyloxycarbonyl (Alloc)] undergo efficient ring-opening reaction with various amine nucleophiles to give protected ketoamides II (R2 = NHCH2C6H4OMe-4, furfurylamino, morpholino, Val-OMe, L-phenylalaninol, Wang resin-bound phenylalanine). Subsequent acid hydrolysis of the ketal moiety generated .alpha.-keto amides III in excellent overall yields. The naturally occurring serine protease

inhibitor poststatin, H-Val-Val-NHCHEtCOCO-D-Leu-Val-OH, was synthesized using this ring-opening reaction as the key step.

ST ketoamide prepn amine ring opening protected diethoxyazetidinone; azetidinone diethoxy ring opening amine ketoamide prepn; poststatin prepn amine ring opening protected diethoxyazetidinone; solid phase synthesis ketoamide diethoxyazetidinone ring opening

IT Amides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(oxo; solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

IT Ring opening
Solid phase synthesis
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

IT Amines, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

IT 63-91-2D, Phenylalanine, ester with Wang resin 100-52-7, Benzaldehyde, reactions 110-91-8, Morpholine, reactions 123-38-6, Propanal, reactions 617-89-0, Furfurylamine 2393-23-9, p-Methoxybenzylamine 3182-95-4, L-Phenylalaninol 4070-48-8, L-Valine methyl ester 6065-82-3, Ethyl diethoxyacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

IT 222406-12-4P 222406-13-5P 222406-14-6P 222406-15-7P 222406-16-8P 222406-17-9P 222406-18-0P 222406-20-4P 222406-21-5P 222406-22-6P 222406-23-7P 222406-24-8P 222406-25-9P 222406-26-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

IT 160866-54-6P **222406-19-1P** 222406-27-1P 222406-28-2P 222406-29-3P 222406-30-6P 222406-31-7P 222406-32-8P 222406-33-9P 222406-34-0P 222406-35-1P 222406-36-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

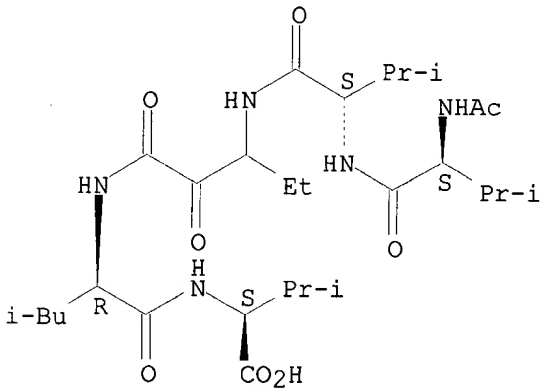
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Adlington, R; Synth Commun 1997, V27, P3803 HCAPLUS
(2) Barlos, K; Tetrahedron Lett 1989, V30, P3947 HCAPLUS
(3) Fukuyama, T; Tetrahedron Lett 1995, V36, P6373 HCAPLUS
(4) Fusetani, N; J Am Chem Soc 1990, V112, P7053 HCAPLUS
(5) Georg, G; Bioorg Med Chem Lett 1994, V4, P335 HCAPLUS
(6) Greene, T; Protective Groups in Organic Synthesis 1991
(7) Gude, M; Tetrahedron Lett 1996, V37, P8589 HCAPLUS
(8) Harbeson, S; J Med Chem 1994, V37, P2918 HCAPLUS
(9) Hart, D; J Org Chem 1983, V48, P289 HCAPLUS
(10) Kocienski, P; Protecting Groups 1994
(11) Li, Z; J Med Chem 1996, V39, P4089 HCAPLUS
(12) Mehdi, S; Bioorg Chem 1993, V21, P249
(13) Nagai, M; J Antibiotics 1991, V44, P956 HCAPLUS
(14) Ocain, T; J Med Chem 1992, V35, P451 HCAPLUS
(15) Ojima, I; Bioorg Med Chem Lett 1993, V3, P2479 HCAPLUS
(16) Ojima, I; J Org Chem 1994, V59, P1249 HCAPLUS
(17) Ojima, I; J Org Chem 1998, V63, P224 HCAPLUS
(18) Palomo, C; J Chem Soc Chem Commun 1994, P1957 HCAPLUS
(19) Palomo, C; J Chem Soc Chem Commun 1996, P633 HCAPLUS
(20) Palomo, C; J Chem Soc Chem Commun 1996, P633 HCAPLUS
(21) Rzasa, R; J Am Chem Soc 1998, V120, P591 HCAPLUS
(22) Tsuda, M; J Antibiot 1996, V49, P287 HCAPLUS

(23) Wasserman, H; Tetrahedron Lett 1997, V38, P953 HCAPLUS
IT **222406-19-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)
RN 222406-19-1 HCAPLUS
CN L-Valine, N-acetyl-L-valyl-L-valyl-3-amino-2-oxopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:195736 HCAPLUS
DN 126:235032
TI Design of a Synthetic Nuclease: DNA Hydrolysis by a Zinc-Binding Peptide Tethered to a Rhodium Intercalator
AU Fitzsimons, Marilena P.; Barton, Jacqueline K.
CS Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA
SO Journal of the American Chemical Society (**1997**), 119(14), 3379-3380
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
CC 7-2 (Enzymes)
Section cross-reference(s): 6
AB A short peptide, Asp-Pro-Asp-Glu-Leu-Glu-His-Ala-Ala-Lys-His-Glu-Ala-Ala-Ala-Lys-CONH2, which binds stoichiometric zinc ion, has been tethered to the DNA-intercalating metal complex Rh(phi)2bpy' (phi = phenanthrenequinone diimine, bpy' = 4-butyric acid-4-methyl-2,2'-bipyridine) to construct a synthetic DNase. In this combination of DNA-binding and reactive moieties, the rhodium intercalator delivers the appended peptide with coordinated Zn2+ for reaction with DNA. In the presence of Zn2+, the Rh(phi)2bpy'-peptide conjugate at .mu.M concn. is found to cleave supercoiled pBR322 DNA and a 17-base pair oligonucleotide duplex under mild conditions. DNA hydrolysis requires the rhodium intercalator, the peptide, and Zn2+. The rate const. for the cleavage of pBR322 DNA by Rh(phi)2bpy'-peptide at pH 6.0 is 2.5 .+-.0.2.times.10-5 s-1. Product anal. by high resoln. PAGE of cleaved oligonucleotide fragments shows 3'-hydroxyl termini exclusively. These results indicate a stereospecific, hydrolytic DNA cleavage reaction by the synthetic complex and establish a new route to the design of synthetic DNA endonucleases.
ST DNase design rhodium intercalator zinc peptide
IT DNA
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT

(Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

IT Plasmids
(pBR322; design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

IT 188473-46-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); CAT (Catalyst use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

IT 9003-98-9P, DNase
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

IT 7440-66-6, Zinc, reactions **188473-44-1** 188473-45-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

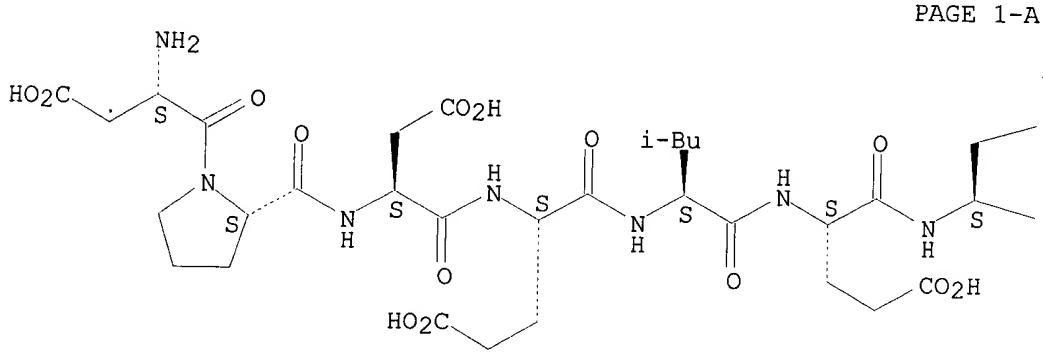
IT **188473-44-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(design of a synthetic nuclease, DNA hydrolysis by a zinc-binding peptide tethered to a rhodium intercalator)

RN 188473-44-1 HCAPLUS

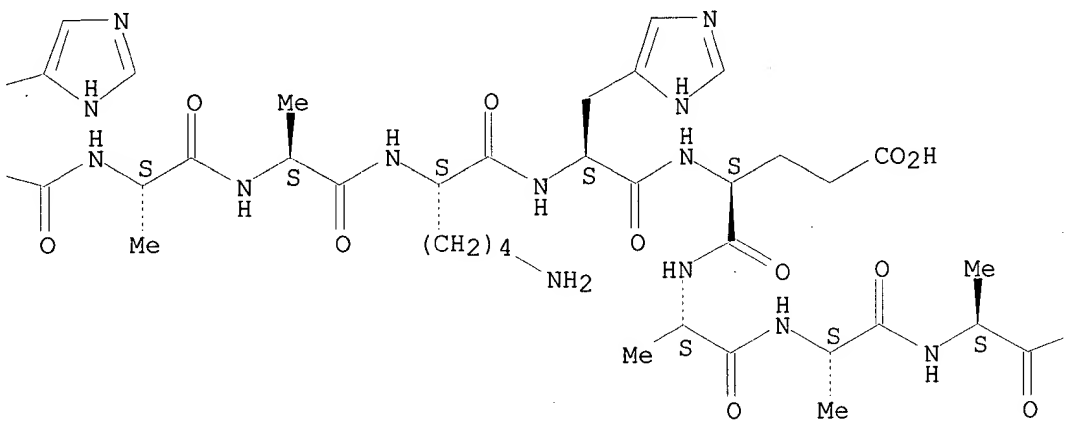
CN L-Lysinamide, L-.alpha.-aspartyl-L-prolyl-L-.alpha.-aspartyl-L-.alpha.-glutamyl-L-leucyl-L-.alpha.-glutamyl-L-histidyl-L-alanyl-L-alanyl-L-lysyl-L-histidyl-L-.alpha.-glutamyl-L-alanyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

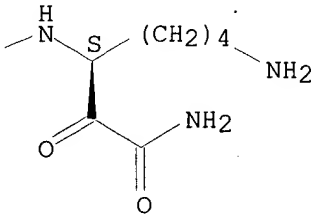
PAGE 1-A



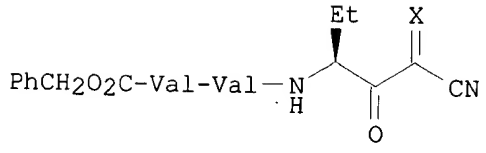
PAGE 1-B



PAGE 1-C



L42 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:114544 HCAPLUS
DN 126:212420
TI A convergent synthesis of poststatin: application of the acyl cyanophosphorane coupling procedure in the formation of a peptidic .alpha.-keto amide
AU Wasserman, Harry H.; Petersen, Anders K.
CS Department of Chemistry, Yale University, New Haven, CT, 06520-8107, USA
SO Tetrahedron Letters (**1997**), 38(6), 953-956
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
OS CASREACT 126:212420
GI



I

AB A convergent synthesis of the pentapeptide poststatin has been developed. The key step involves oxidative cleavage of acyl cyanophosphorane I (X = PPh3). The resulting .alpha.,.beta.-diketo nitrile I (X = O) is then coupled to the free amine of a C-terminal-dipeptidyl component to generate the protected natural product. Deprotection by hydrogenolysis furnishes poststatin.

ST poststatin prepn acyl cyanophosphorane coupling

IT Acylation
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(ketoamides; application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

IT 188054-57-1P
RL: BYP (Byproduct); PREP (Preparation)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

IT 3918-94-3 4336-70-3, (Cyanomethyl)triphenylphosphonium chloride 141403-96-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

IT 16640-68-9P, (Cyanomethylene)triphenylphosphorane 19542-54-2P 42918-86-5P **135219-44-2P** 135219-68-0P 188054-58-2P 188054-59-3P 188054-60-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

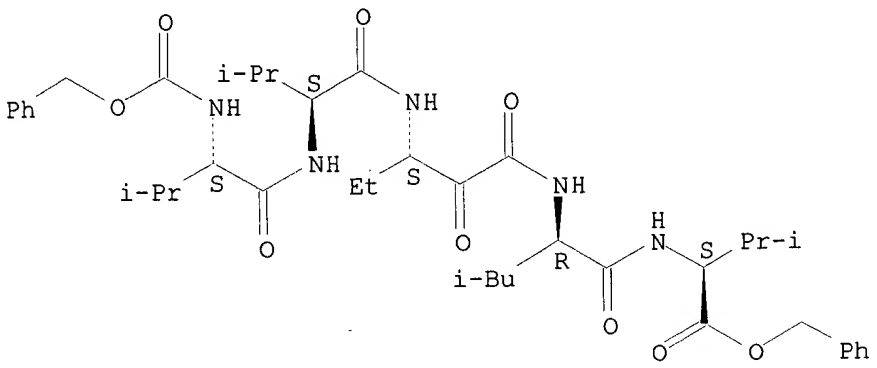
IT 135219-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

IT **135219-44-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(application of acyl cyanophosphorane coupling in prepn. of peptide ketoamide in convergent synthesis of poststatin)

RN 135219-44-2 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



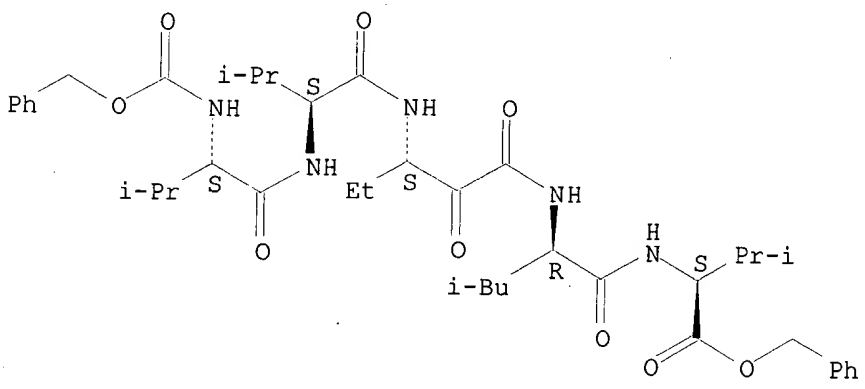
L42 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:592181 HCAPLUS
DN 125:295861
TI Poststatin, a new inhibitor of prolyl endopeptidase. VI. Endopeptidase inhibitory activity of poststatin analogs containing pyrrolidine ring
AU Tsuda, Makoto; Muraoka, Yasuhiko; Someno, Tetsuya; Nagai, Machiko; Aoyagi, Takaaki; Takeuchi, Tomio
CS Inst. Microbial Chem., Tokyo, 141, Japan
SO Journal of Antibiotics (**1996**), 49(9), 900-908
CODEN: JANTAJ; ISSN: 0021-8820
PB Japan Antibiotics Research Association
DT Journal
LA English
CC 7-3 (Enzymes)
AB Several pyrrolidine-contg. analogs of poststatin were synthesized and examd. for their inhibitory activity against prolyl endopeptidase and cathepsin B in vitro. Replacement of the postine residue with 2-oxo-2-(2-pyrrolidinyl)acetic acid increased the selectivity and inhibitory activity against prolyl endopeptidase. benzyloxycarbonyl-L-phenylalanyl-(S)-2-oxo-2-(2-pyrrolidinyl)acetyl-D-phenylalanine was about 46 times as active to prolyl endopeptidase as natural poststatin.
ST prepn poststatin analog pyrrolidine; inhibitor prolyl endopeptidase poststatin analog pyrrolidine
IT 182758-60-7P 182967-35-7P
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(endopeptidase inhibitory activity of poststatin analogs contg. pyrrolidine ring and their prepn.)
IT 135219-43-1P **135219-44-2P** 141403-71-6P 141403-77-2P
182758-48-1P 182758-54-9P 182758-57-2P 182758-62-9P 182758-64-1P
182758-66-3P 182758-68-5P 182758-69-6P 182758-70-9P 182758-71-0P
182967-34-6P 182967-36-8P 182967-37-9P 182967-38-0P 182967-39-1P
182967-40-4P 183183-49-5P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(endopeptidase inhibitory activity of poststatin analogs contg. pyrrolidine ring and their prepn.)
IT 67-51-6, 3,5-Dimethylpyrazole 75-64-9, reactions 123-91-1, Dioxane, reactions 464-05-1, Pyridinium trifluoroacetate 538-75-0, Dicyclohexylcarbodiimide 1148-11-4 2748-02-9 16937-99-8 135219-63-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for the prepn. of poststatin analogs contg. pyrrolidine ring)
IT 72351-45-2P 141403-59-0P 141403-63-6P 141403-82-9P 141403-86-3P

141403-93-2P 182758-72-1P 182758-73-2P 182758-74-3P 182758-76-5P
182758-77-6P 182758-78-7P 182758-79-8P 182967-41-5P 182967-42-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for the prepn. of poststatin analogs contg. pyrrolidine ring)

IT **135219-44-2P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(endopeptidase inhibitory activity of poststatin analogs contg. pyrrolidine ring and their prepn.)

RN 135219-44-2 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:592180 HCAPLUS
DN 125:301554
TI Poststatin, a new inhibitor of prolyl endopeptidase. V. Endopeptidase inhibitory activity of poststatin analogs
AU Tsuda, Makoto; Muraoka, Yasuhiko; Nagal, Machiko; Aoyagi, Takaaki; Takeuchi, Tomio
CS Inst. Microbial Chem., Tokyo, 141, Japan
SO Journal of Antibiotics (**1996**), 49(9), 890-899
CODEN: JANTAJ; ISSN: 0021-8820
PB Japan Antibiotics Research Association
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 7
AB Thirty analogs of poststatin were synthesized, and their inhibitory activities against prolyl endopeptidase, human leukocyte elastase and cathepsin B were measured. In the .beta.-substituted-.beta.-amino-.alpha.-oxopropionic acid moiety of poststatin analogs, the .alpha.-keto group was essential and the S stereo configuration was more preferable than R for endopeptidase inhibitory activity. The analog in which the D-leucine residue of poststatin was replaced by L-leucine showed strong inhibitory activity to cathepsin B. Introduction of an arom. group into the P4 position and proline into the P2 position increased inhibitory activity to elastase. Benzyloxycarbonyl-L-homophenylalanyl-(RS)-3-amino-2-oxovaleryl-D-leucyl-L-valine was about 6 times more active to prolyl endopeptidase than natural poststatin.
ST poststatin analog prepn; endopeptidase inhibitory activity poststatin analog; aminooxopropionic acid deriv poststatin
IT 9004-06-2, Elastase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(human leukocyte; prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 135219-43-1D, Poststatin, analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

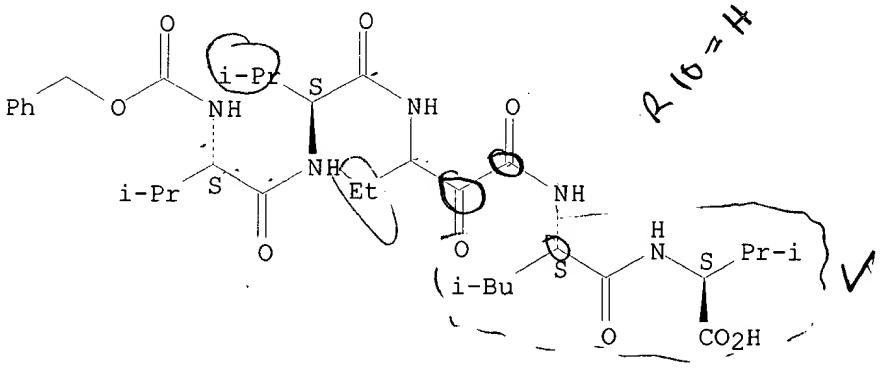
IT 135219-45-3P 135219-46-4P 135219-48-6P **135219-49-7P**
135219-50-0P 135219-52-2P 135219-53-3P **135219-54-4P**
135219-55-5P 135219-56-6P **135219-57-7P** 135219-58-8P
135219-62-4P **135270-54-1P** 135355-22-5P **141187-11-3P**
182742-33-2P 182742-34-3P 182742-35-4P 182742-36-5P 182742-38-7P
182742-39-8P **182742-40-1P** 182742-41-2P 182742-42-3P
182966-18-3P 182966-19-4P 182966-20-7P 182966-21-8P 182966-22-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 9047-22-7, Cathepsin B 72162-84-6, Prolyl endopeptidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT **135219-49-7P 135219-54-4P 135219-57-7P**
135270-54-1P 141187-11-3P 182742-40-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

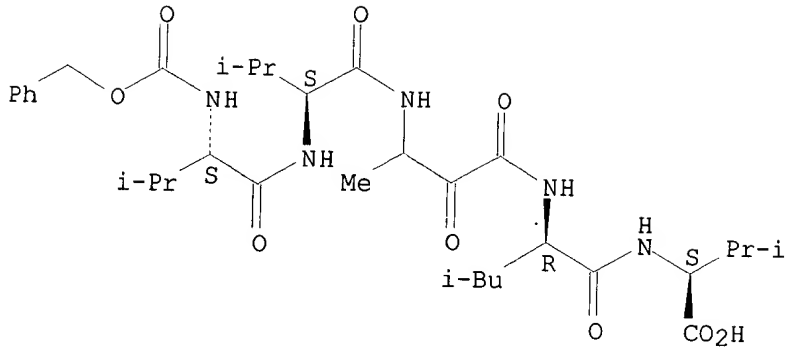
RN 135219-49-7 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



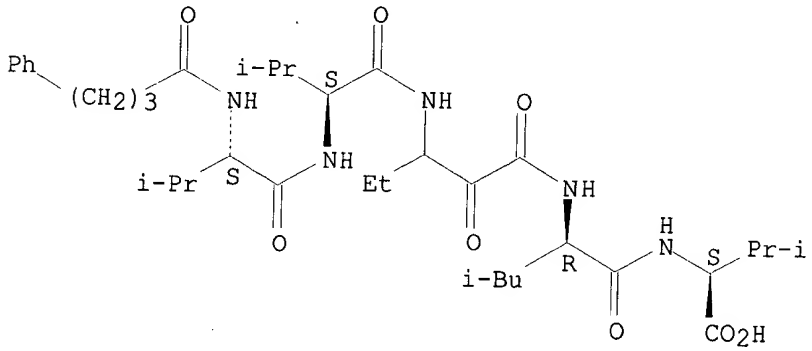
RN 135219-54-4 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminobutanoyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



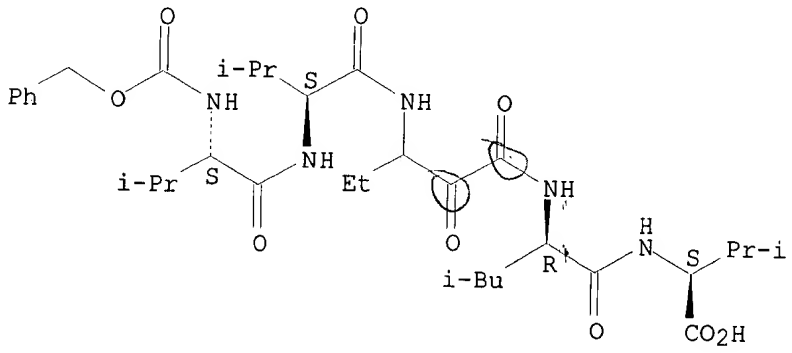
RN 135219-57-7 HCAPLUS
CN L-Valine, N-(1-oxo-4-phenylbutyl)-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



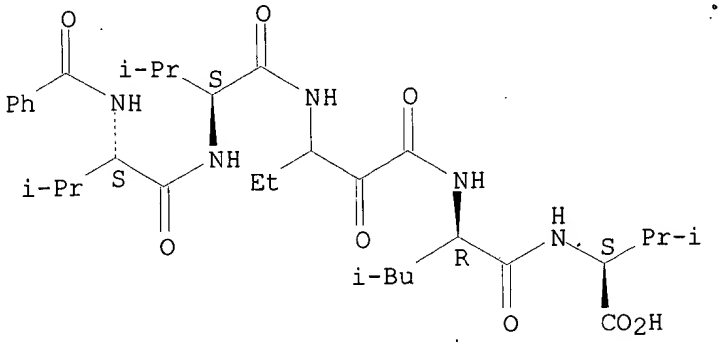
RN 135270-54-1 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



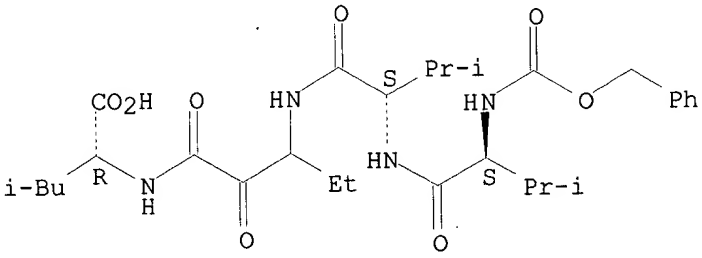
RN 141187-11-3 HCAPLUS
CN L-Valine, N-benzoyl-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 182742-40-1 HCAPLUS
CN D-Leucine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:190806 HCAPLUS
DN 124:344063
TI Poststatin, a new inhibitor of prolyl endopeptidase IV. The chemical synthesis of poststatin
AU Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko; Takeuchi, Tomio; Aoyagi, Takaaki
CS Inst. of Microbial Chemistry, M. C. R. F., Tokyo, 141, Japan
SO Journal of Antibiotics (**1996**), 49(3), 287-91
CODEN: JANTAJ; ISSN: 0021-8820
PB Japan Antibiotics Research Association
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
AB Total synthesis of poststatin was achieved by both liq. phase and solid phase methods. In both methods, (2R,3S)-3-amino-2-hydroxyvaleric acid was incorporated into protected pentpeptides, and was oxidized to (S)-3-amino-2-oxovaleric acid (postine). Deprotection of the oxidized pentapeptides gave a specimen identical with natural poststatin in physicochem. properties and prolyl endopeptidase inhibitory activity.
ST poststatin prolyl endopeptidase inhibitor prepn; Merrifield synthesis poststatin prolyl endopeptidase inhibitor
IT 1149-26-4 13734-41-3 16652-76-9, Valine benzyl ester tosylate 16937-99-8 68858-20-8 68858-20-8D, resin-bound 114360-54-2 141406-78-2 141436-14-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)
IT **135219-44-2P** 135219-63-5P 135219-70-4P 135219-71-5P 141187-09-9P 160913-68-8P

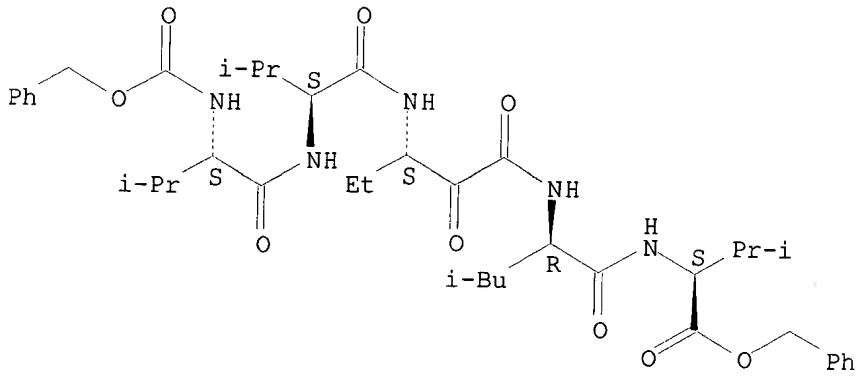
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

IT 135219-43-1P, Poststatin 176777-95-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

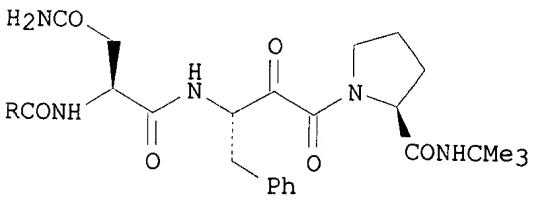
IT **135219-44-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of prolyl endopeptidase inhibitor poststatin by soln. and solid-phase methods)

RN 135219-44-2 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:440143 HCAPLUS
DN 123:112687
TI Synthesis and human immunodeficiency virus (HIV)-1 protease inhibitory activity of tripeptide analogs containing a dioxoethylene moiety
AU Kitazaki, Tomoyuki; Asano, Tsuneo; Kato, Koichi; Kishimoto, Shoji; Itoh, Katsumi
CS Pharmaceutical Research Laboratories III, Takeda Chemical Industries, Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (**1994**), 42(12), 2636-40
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
GI



I

AB Tripeptide analogs I (R = PhCH2O, 2-quinolyl), contg. a dioxoethylene moiety, were designed based on the characteristic structure of the naturally occurring human immunodeficiency virus (HIV)-1 protease inhibitors RPI-856 A, B, C and D. I showed high inhibitory activity, comparable to that of RPI-856 A, against HIV-1 protease in vitro.

ST immunodeficiency virus protease inhibitor tripeptide; HIV protease inhibitor dioxoethylene pseudotripeptide; RPI 856 tripeptide protease inhibitor

IT Virus, animal
(human immunodeficiency 1, synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

IT 9001-92-7, Protease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(human immunodeficiency virus-1; synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

IT **157341-54-3 157381-54-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

IT 139694-65-8P, RPI 312 141171-80-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

IT 141171-73-5P 152843-00-0P 165522-25-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

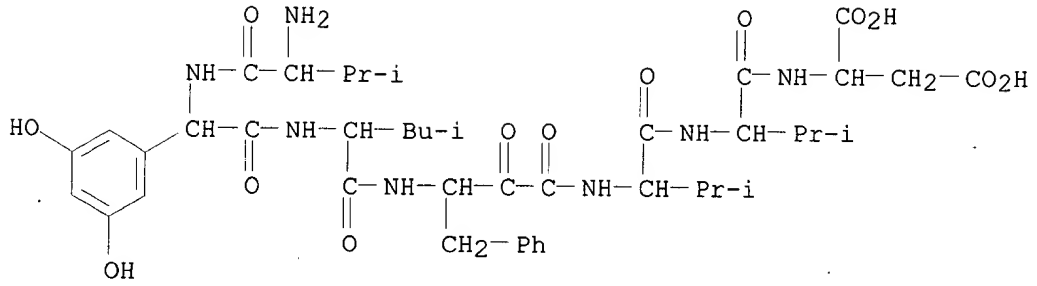
IT 3256-57-3 62023-59-0 62023-60-3 128018-18-8 136465-98-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

IT 139758-12-6P 141171-72-4P 152886-87-8P 153380-43-9P 165522-26-9P 165522-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

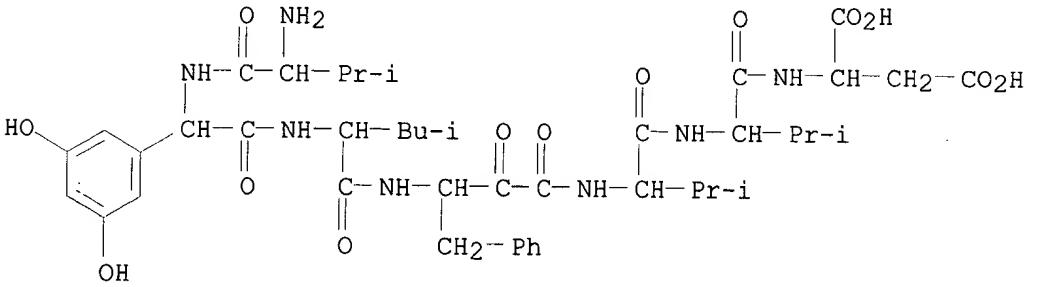
IT **157341-54-3 157381-54-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and human immunodeficiency virus-1 protease inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

RN 157341-54-3 HCAPLUS

CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)

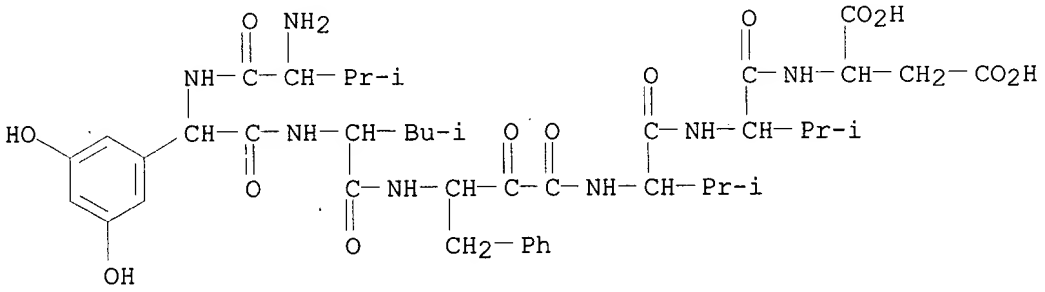


RN 157381-54-9 HCAPLUS
CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)

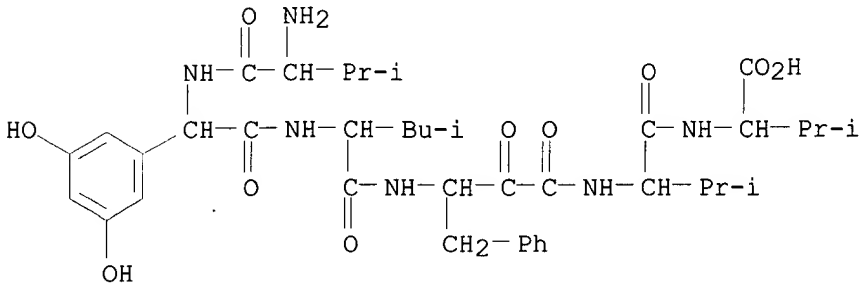


L42 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:650735 HCAPLUS
DN 121:250735
TI Novel retrovirus protease inhibitors, RPI-856 A, B, C, and D, produced by Streptomyces sp. AL-322
AU Asano, Tsuneo; Matsuoka, Kunio; Hida, Tsuneaki; Kobayashi, Makoto; Kitamura, Yumiko; Hayakawa, Takaki; Iinuma, Shigemi; Kakinuma, Atsushi; Kato, Koichi
CS Discovery Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Journal of Antibiotics (**1994**), 47(5), 557-65
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
CC 10-1 (Microbial, Algal, and Fungal Biochemistry)
Section cross-reference(s): 7
AB Four kinds of retrovirus protease (retropepsin) inhibitors (RPI-856 A, B, C, and D) were isolated as white powder from the culture filtrate of a soil isolate, Streptomyces sp. AL-322 by column chromatog. using Diaion HP-20, Sephadex LH-20, ODS reversed phase HPLC and SP-2SW ion-exchange HPLC. The structures of these inhibitors were elucidated by physicochem. properties, chem. reactions and spectral anal., as valyl-ADPAA-leucyl-AOPBA-valyl-valyl-aspartic acid (RPI-856 A and B) and valyl-ADPAA-leucyl-AOPBA-valyl-valine (RPI-856 C and D) [ADPAA = 2-amino-2-(3,5-dihydroxyphenyl)acetic acid, AOPBA = 3-amino-2-oxo-4-phenylbutyric acid]. RPI-856 A and B, and RPI-856 C and D were both detd. to be diastereomers to each other on the asym. C in AOPBA. These 4 inhibitors strongly inhibited in vitro HIV-1 and HTLV-1 retropepsins, both derived from recombinant Escherichia coli with IC50 of 10-7-10-8 M.
ST RPI 856 Streptomyces retrovirus retropepsin inhibitor
IT Nomenclature, new natural products
(RPI-856 A (peptide))
IT Nomenclature, new natural products

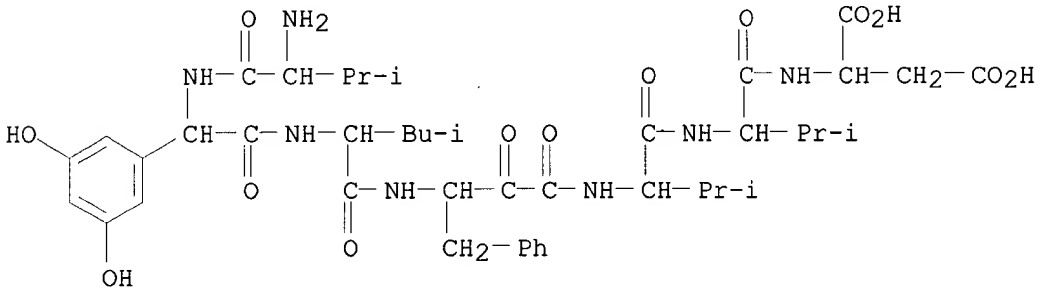
(RPI-856 B (peptide))
IT Nomenclature, new natural products
(RPI-856 C (peptide))
IT Nomenclature, new natural products
(RPI-856 D (peptide))
IT Molecular structure, natural product
(of RPI-856 A (peptide))
IT Molecular structure, natural product
(of RPI-856 B (peptide))
IT Molecular structure, natural product
(of RPI-856 C (peptide))
IT Molecular structure, natural product
(of RPI-856 D (peptide))
IT Taxonomy
(of Streptomyces productive for retroviral proteinase inhibitors)
IT Kinetics, enzymic
(of inhibition, of retropepsin of retroviruses, by RPI-856 A)
IT Streptomyces
(retropepsin inhibitors from)
IT Fermentation
(retroviral proteinase inhibitors RPI-856, with Streptomyces)
IT **157381-54-9 157381-55-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(as retropepsin inhibitor from Streptomyces, isolation and characterization and redn. of)
IT 144114-21-6, Retropepsin
RL: PROC (Process)
(inhibition of, of HIV-1 virus, by aminooxophenylbutyrate-contg. peptides from Streptomyces)
IT 158637-81-1P 158704-38-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and retropepsin-inhibiting activity of)
IT **157341-54-3 157341-55-4**
RL: BIOL (Biological study)
(retroviral protease inhibitor, from Streptomyces)
IT **157381-54-9 157381-55-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(as retropepsin inhibitor from Streptomyces, isolation and characterization and redn. of)
RN 157381-54-9 HCAPLUS
CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)



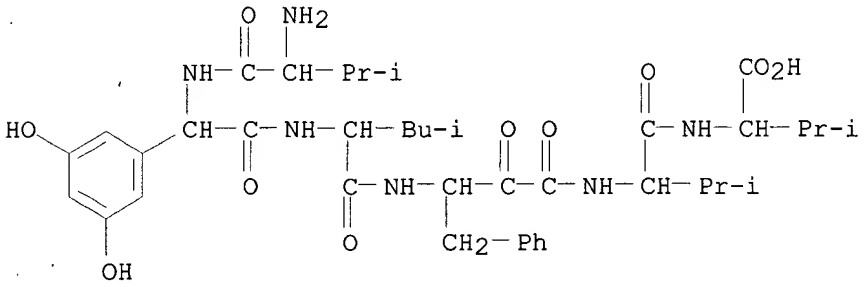
RN 157381-55-0 HCAPLUS
CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)



IT **157341-54-3 157341-55-4**
RL: BIOL (Biological study)
(retroviral protease inhibitor, from Streptomyces)
RN 157341-54-3 HCAPLUS
CN L-Aspartic acid, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl-L-valyl- (9CI) (CA INDEX NAME)



RN 157341-55-4 HCAPLUS
CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)



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L43 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:416971 HCAPLUS
DN 135:19916
TI Preparation of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease
IN Han, Wei
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 282 pp.
CODEN: PIXXD2

DT Patent
LA English
IC ICM C07K005-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 15

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001040262	A1	20010607	WO 2000-US32677	20001201 <--
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002123468	A1	20020905	US 2000-728653	20001201 <--
EP 1252178	A1	20021030	EP 2000-983845	20001201 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
PRAI US 1999-168998P	P	19991203 <--		
WO 2000-US32677	W	20001201		

OS MARPAT 135:19916
AB Keto amide and keto ester compds. R9-A6-A5-A4-A3-A2-NHCR1R2COCO-W-Q [W = NH or O; Q = substituted alkyl, alkenyl, or alkynyl or an amino acid residue; A2 is a bond, NHCH2CO which may be C-substituted, an amino acid residue, or NRCHRCO, where NRCHR represents tetrahydropyrrole-1,2-diyl which may be substituted at the 4- and 5-positions or hexahydroindole-1,2-diyl; A3 or A4 is a bond, NHCH2CO which may be C-substituted, or an amino acid residue; A5 or A6 is a bond or an amino acid residue; R1 = H, F, or substituted alkyl, alkenyl, alkynyl, aryl, or cycloalkyl; R2 = H, F, alkyl; R9 = S(O)R9a, SO2R9a, C(O)R9a, C(O)OR9a, C(O)NHR9a, alkyl-R9a, alkenyl-R9a, or alkynyl-R9a, where R9a = substituted alkyl, cycloalkyl, aryl, or heterocyclyl] or stereoisomeric forms or pharmaceutically acceptable salts were prepd. as inhibitors of HCV NS3 protease. Thus, N-(2-pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-2-oxo-(3S)-3-aminopentanoylglycine was prepd. by a multistep sequence which includes peptide coupling reactions in soln. Compds. of the invention exhibit ki values of .ltoreq.60 .mu.M, thereby confirming their utility as effective NS3 protease inhibitors.

ST peptide keto amide ester prepn inhibitor NS3 protease; hepatitis C virus protease inhibitor peptide keto amide

IT Hepatitis C virus
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT **342612-00-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

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342612-59-3P 342621-42-5P 342621-43-6P 343256-95-1P 343256-96-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT 149885-80-3
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT 59-66-5 61-90-5, L-Leucine, reactions 97-09-6 98-10-2, Benzenesulfonamide 98-64-6 98-97-5, 2-Pyrazinecarboxylic acid 402-46-0 421-85-2, Trifluoromethanesulfonamide 452-35-7 779-71-5 830-43-3 1205-30-7 1431-39-6 1524-40-9 1576-47-2, 2-Naphthalenesulfonamide 1954-92-3 2070-48-6 2295-56-9 3118-68-1 3119-02-6 3144-09-0, Methanesulfonamide 4336-70-3 4371-23-7, 4-Biphenylsulfonamide 4563-33-1, Benzenemethanesulfonamide 4793-24-2 5455-59-4 6325-93-5 6456-74-2 6949-23-1 6961-82-6 7720-45-8 13881-91-9, Aminomethanesulfonic acid 17260-71-8 19797-32-1 21506-01-4 23815-28-3 27527-05-5 29092-27-1 30058-40-3 31602-63-8, 5-(Aminomethyl)tetrazole 35337-99-6 39213-22-4 42918-86-5 51896-27-6 68252-72-2 88568-95-0 91569-33-4, [1,1'-Biphenyl]-3-sulfonamide 148992-43-2 190659-74-6 219138-72-4 253679-11-7 284680-64-4 300382-95-0 323197-73-5 342612-76-4 342612-77-5 342612-78-6 342612-79-7 342612-80-0 342612-81-1 342612-87-7 342612-88-8 342612-89-9 342612-90-2 342612-91-3 342612-92-4 342612-93-5 342612-94-6 342612-95-7 342612-96-8 342612-97-9 342612-98-0 342612-99-1 342613-02-9 342621-41-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

IT 50715-50-9P 58872-03-0P 99429-45-5P 106665-76-3P 188054-58-2P
274918-51-3P 319010-06-5P 319011-72-8P 319011-74-0P 319011-76-2P
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342613-00-7P 342613-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

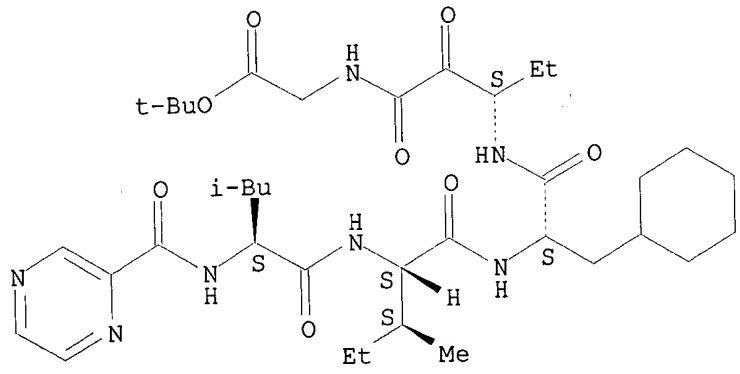
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Akzo Nobel Nv; WO 9850420 A 1998 HCAPLUS
(2) Alkermes Inc; WO 9500535 A 1995 HCAPLUS
(3) Bailey, M; WO 9907734 A 1999 HCAPLUS
(4) Beecham Group Plc; EP 0445467 A 1991 HCAPLUS
(5) Boehringer Ingelheim Ca Ltd; WO 9829435 A 1998 HCAPLUS
(6) Cephalon Inc; WO 9917790 A 1999 HCAPLUS
(7) Deininger, D; WO 9817679 A 1998 HCAPLUS
(8) Georgia Tech Res Inst; WO 9212140 A 1992 HCAPLUS
(9) Zaidan Hojin Biseibutsu; EP 0423358 A 1991 HCAPLUS

IT **342612-00-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of .alpha.-keto amide inhibitors of hepatitis C virus NS3 protease)

RN 342612-00-4 HCAPLUS
CN Glycine, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-(3S)-3-amino-2-oxopentanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

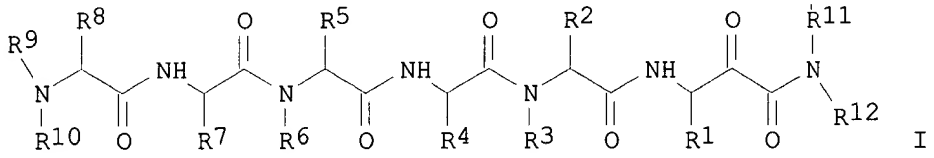


L43 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:760024 HCAPLUS
DN 132:93653
TI Preparation of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors
IN Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Raynham, Tony Michael; Wilson, Francis Xavier
PA F. Hoffmann-La Roche A.-G., Switz.
SO Fr. Demande, 130 pp.

CODEN: FRXXBL
DT Patent
LA French
IC ICM C07K007-00
ICS A61K038-08
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 7, 10, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2778406	A1	19991112	FR 1999-5650	19990504 <--
	FR 2778406	B1	20030509		
	US 6187905	B1	20010213	US 1999-305030	19990504 <--
	IT 1312558	B1	20020422	IT 1999-MI950	19990504 <--
	GB 2338482	A1	19991222	GB 1999-10384	19990505 <--
	ES 2165269	A1	20020301	ES 1999-918	19990505 <--
	JP 11349597	A2	19991221	JP 1999-125419	19990506 <--
	DE 19920966	A1	20000113	DE 1999-19920966	19990506 <--
PRAI	GB 1998-9664	A	19980506 <--		

OS MARPAT 132:93653
GI



I

AB .alpha.-Ketoamide peptides I (R1 = alkyl, haloalkyl, cyanoalkyl, aralkyl, thioalkyl, heteroalkyl, alkenyl, alkynyl; R2 = alkyl, hydroxyalkyl, carboxyalkyl, aralkyl, aminocarbonylalkyl, cycloalkyl, arylalkoxyalkyl; R3, R6, R9 = independently H, alkyl; R2R3 = alkylidene; R4 = alkyl, hydroxyalkyl, cycloalkyl, carboxyalkyl, arylalkyl, arylalkoxyalkyl, thioalkyl, cyanoalkyl, alkenyl, aryl, heteroarylalkyl, arylsulfonylalkyl, acetamidothioalkyl, cycloalkyl; R5 = alkyl, hydroxyalkyl, thioalkyl, aralkyl, cyanoalkyl, thioalkyl, cycloalkyl, arylalkoxyalkyl, aryl, arysulfonylguanidinoalkyl, heteroarylalkyl; R7 = H, alkyl, carboxyalkyl, hydroxyalkyl, arylalkyl, cycloalkyl, heteroarylalkyl, nitroguanidinoalkyl, thioalkyl, arylalkoxycarbonylalkyl, formamidoalkyl; R8 = alkyl, cycloalkyl, carboxyalkyl, arylalkoxyalkyl, mercaptoalkyl, aryl, nitroguanidinoalkyl, thioalkyl, formamidoalkyl; R8R9 = sulfur-contg, trimethylene; R10 = alkyl, alkoxyalkylcarbonyl, acyl; R11, R12 = independently H, alkyl, aryl, arylalkyl, cycloalkyl, alkoxy, OH) were prepd. as HCV proteinase inhibitors and antiviral agents. 3(RS)-[[N-[N-[N-[N-[N-(3-carboxypropionyl)-L-.alpha.-aspartyl]-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucyl]amino]-5,5,5-trifluoro-N-[1(S)-2-naphthylethyl]-2-oxovaleramide was prepd. as antiviral HCV proteinase inhibitor (EC50 = 0.004 .mu.mol/L).

ST ketoamide peptide prepn antiviral HCV proteinase inhibitor

IT Antiviral agents
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 254437-04-2P 254437-09-7P 254437-13-3P 254437-17-7P 254437-21-3P 254437-25-7P **254437-29-1P** 254437-33-7P 254437-37-1P

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254439-94-6P 254439-95-7P 254439-96-8P
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254440-09-0P 254440-10-3P 254440-11-4P
254440-12-5P 254440-13-6P 254440-14-7P
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254440-18-1P 254440-21-6P **254454-93-8P**
254454-94-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 9001-92-7, Proteinase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 75-31-0, 2-Propanamine, reactions 75-64-9, reactions 91-00-9 93-09-4, 2-Naphthoic acid 95-68-1, 2,4,Dimethylaniline 100-74-3, 4-Ethyl-morpholine 102-50-1 1117-97-1, N,O-Dimethylhydroxylamine 2627-86-3 3082-62-0 3082-64-2 3789-59-1 3789-60-4 4083-57-2, 2,4-Dimethyl-3-pentylamine 5068-28-0 5071-96-5, 3-Methoxybenzylamine

6123-62-2 6150-01-2 7409-18-9, 3-Nitrobenzylamine 7409-30-5
10352-88-2 13734-34-4 17430-98-7 17480-69-2 18542-42-2,
2-(Methylthio)ethylamine 22356-89-4 26164-26-1, (+)-
Methoxyphenylacetic acid 27757-85-3, 2-Thiophenemethanamine 35661-40-6
38235-77-7 67194-09-6 68906-26-3 71989-14-5 71989-18-9
84697-13-2 102831-44-7 104322-63-6 127273-06-7 132684-60-7
144868-76-8 172649-57-9, 5-(Chloromethyl)oxazole 194096-78-1
208520-88-1 211637-75-1 254438-02-3 254438-33-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT 6315-96-4P 87694-53-9P 98254-05-8P 113443-62-2P 129488-82-0P
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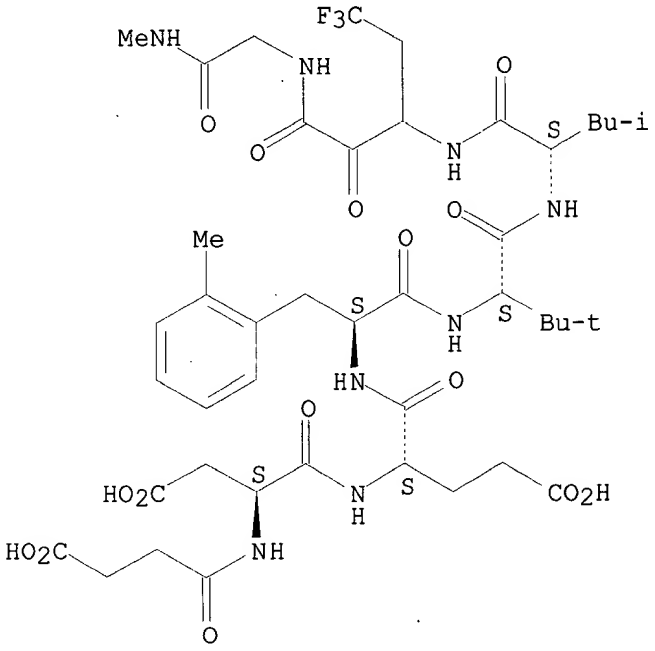
polystyrene bound 254438-93-2P 254438-94-3P 254440-22-7P
254440-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

IT **254437-29-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

RN 254437-29-1 HCAPLUS
CN Glycinamide, N-(3-carboxy-1-oxopropyl)-L-.alpha.-aspartyl-L-.alpha.-glutamyl-2-methyl-L-phenylalanyl-3-methyl-L-valyl-L-leucyl-3-amino-5,5,5-trifluoro-2-oxopentanoyl-N-methyl- (9CI) (CA INDEX NAME)

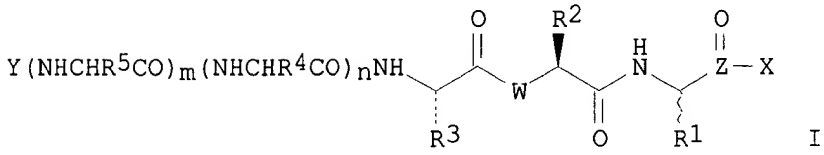
Absolute stereochemistry.



L43 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:485077 HCAPLUS
DN 129:122872
TI Peptidomimetic inhibitors of the human cytomegalovirus protease
IN Bailey, Murray; Fazal, Gulrez; Lavallee, Pierre; Ogilvie, William; Poupart, Marc-Andre
PA Boehringer Ingelheim (Canada) Ltd., Can.
SO PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K005-10
ICS C07K005-08; C07K005-06; C07K005-02; A61K038-55
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829435	A1	19980709	WO 1997-CA1004	19971223 <--
	W: CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 948523	A1	19991013	EP 1997-951048	19971223 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001508418	T2	20010626	JP 1998-529511	19971223 <--
	US 6291640	B1	20010918	US 1998-171554	19981019 <--
PRAI	US 1996-34041P	P	19961227 <--		
	US 1997-52860P	P	19970717 <--		
	US 1997-59806P	P	19970923 <--		
	WO 1997-CA1004	W	19971223 <--		

OS MARPAT 129:122872
GI



I

AB Compds. I [Z = C or P; X = CF3, C2F5, benzothiazole, CF2CONHR6, CONHR6 [R6 = alkyl, (un)substituted Ph or cyclohexyl], etc.; R1 = H, Me, Et; R2 = CH2SO2NH2, alkyl, arylalkyl, etc.; R3 = alkyl, carboxyalkyl, adamantyl; R4 = alkyl, arylalkyl; R5 = H, CH2OH; W = NH, CH2, CHMe; Y = H, t-BuCH2CH2, acyl; m, n = 0, 1] were prepd. as inhibitors of the human cytomegalovirus (HCMV) protease. Thus, N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(2S)-2-[(1S)-2-methyl-1-[[(1S)-2-methyl-1-[(methylcarboxamido)methyl]carboxamidopropyl]carboxamido]propylcarboxamido]butanediamide, prepd. by the solid-phase method, showed IC50 = 1.8.+-.0.3 .mu.M for inhibition of HCMV No protease.

ST peptidomimetic prepn inhibitor human cytomegalovirus protease

IT Peptidomimetics
(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 106771-20-4P 198955-72-5P 198955-74-7P 198955-75-8P 198955-76-9P
198955-77-0P 198955-78-1P 198955-79-2P 198955-80-5P 198955-81-6P
198955-82-7P 198955-83-8P 198955-84-9P 198955-85-0P 198955-86-1P
198955-87-2P 198955-88-3P 198955-90-7P 198955-92-9P 198955-93-0P
198955-94-1P 198955-95-2P 198955-96-3P 198955-97-4P 198955-98-5P
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210290-98-5P 210290-99-6P 210291-00-2P 210291-01-3P 210291-02-4P
210291-03-5P 210291-04-6P 210291-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 139691-88-6
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 79-24-3, Nitroethane 95-16-9, Benzothiazole 95-55-6, 2-Aminophenol 95-84-1 298-12-4, Glyoxylic acid 627-05-4, 1-Nitrobutane 828-51-3, 1-Adamantanecarboxylic acid 2835-97-4 2835-98-5, 6-Amino-3-methylphenol 16867-03-1, 2-Amino-3-hydroxypyridine 17016-83-0 17347-61-4, 2,2-Dimethylsuccinic anhydride 17672-22-9, 6-Amino-2-methylphenol 28875-17-4, Boc-Ala-OMe 87694-49-3 114744-83-1 210290-57-6 210290-58-7 210290-61-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 2094-72-6P, 1-Adamantanecarboxylic acid chloride 79069-13-9P 79069-50-4P 180778-94-3P 198955-56-5P 198955-57-6P 198955-58-7P 198955-59-8P 198955-60-1P 198955-61-2P 198955-62-3P 198955-63-4P

198955-64-5P 198955-65-6P 198955-68-9P 198955-69-0P 198955-70-3P
198956-34-2P 198956-35-3P 198956-37-5P 198956-38-6P 198956-39-7P
198956-43-3P 198956-45-5P 198956-52-4P 198956-53-5P 198956-55-7P
200810-94-2P 210290-44-1P 210290-45-2P 210290-46-3P 210290-59-8P
210290-60-1P 210290-62-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

IT 142148-84-3P 198955-71-4P 198956-07-9P 198956-08-0P 198956-09-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

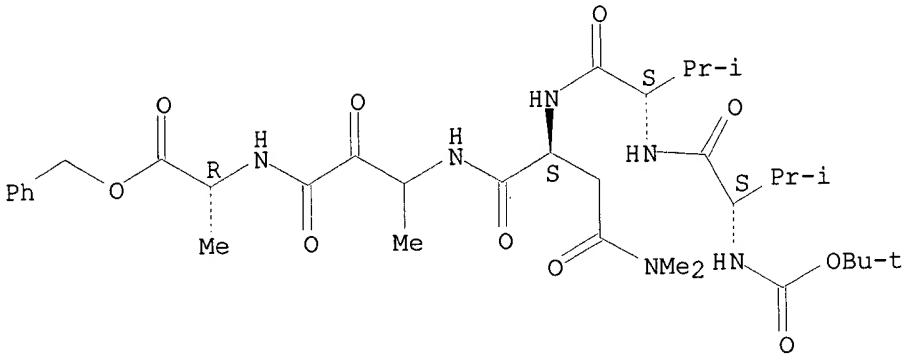
RE

(1) Abuelyaman, A; BIOCONJUGATE CHEMISTRY 1994, V5(5), P400 HCAPLUS
(2) Bonneau, P; BIOCHEMISTRY 1997, V36(41), P1264
(3) Cephalon Inc; WO 9710231 A 1997 HCAPLUS
(4) Derstine, C; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8485 HCAPLUS
(5) Merrell Dow Pharmaceuticals Inc; EP 0410411 A 1991 HCAPLUS
(6) Murphy, A; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1992, V114(8), P3156 HCAPLUS
(7) Ogilvie, W; JOURNAL OF MEDICINAL CHEMISTRY 1997, V40(25), P4113 HCAPLUS

IT **210290-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

RN 210290-94-1 HCAPLUS
CN D-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-L-valyl-N,N-dimethyl-L-asparaginyl-3-amino-2-oxobutanoyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:227654 HCAPLUS
DN 122:131140
TI Poststatin and related compounds or salts thereof
IN Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa; Naganawa, Hiroshi; Ogawa, Keiji; Nagai, Machiko; Muraoka, Yasuhiko; Tsuda, Makoto
PA Zaidan Hojin Biseibutsu Kagaku Kenkyu KaI, Japan
SO U.S., 20 pp. Cont.-in-part of U.S. 5,162,500.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07C229-00
ICS A61K037-00; A61K037-02; C07K005-00

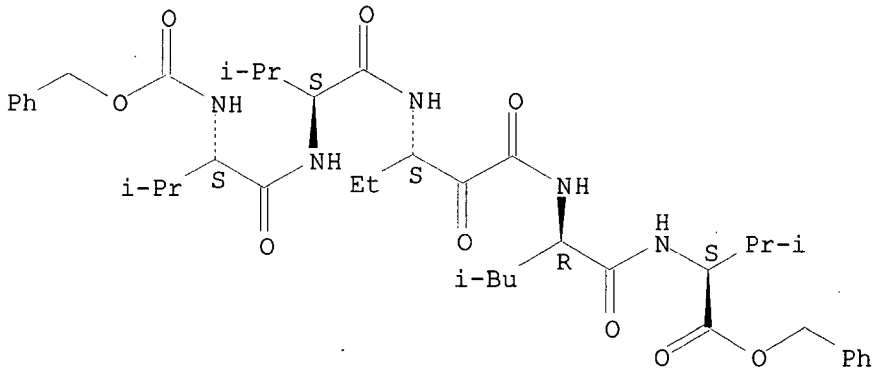
NCL 562567000
CC 16-2 (Fermentation and Bioindustrial Chemistry)
FAN.CNT 2

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	US 5359138	A	19941025		US 1992-905792	19920629	<--
	EP 672648	A1	19950920		EP 1995-106762	19900413	<--
	EP 672648	B1	19980923				
	R: DE, FR, GB, IT						
	US 5162500	A	19921110		US 1990-613759	19901207	<--
PRAI	JP 1989-94328		19890415	<--			
	US 1990-613759		19901207	<--			
	EP 1990-905686		19900413	<--			
	WO 1990-JP491		19900413	<--			

OS MARPAT 122:131140
AB A novel, biol. active substance, poststatin, was isolated from a culture medium of Streptomyces. The novel substance is a peptide compd. having a novel structure, wherein the peptide chains have ketone radicals. Thus the substance has a high endopeptidase inhibition activity. It is possible to chem. synthesize poststatin-related compds. having ketone radicals in the peptide chains. These compds. also have endopeptidase inhibition activity.
ST poststatin endopeptidase inhibitor Streptomyces
IT Streptomyces viridochromogenes
(endopeptidase inhibitor poststatin from Streptomyces viridochromonogenes)
IT **135219-44-2P** 135219-46-4P 135219-48-6P **135219-49-7P**
135219-50-0P 135219-51-1P 135219-52-2P 135219-53-3P
135219-54-4P 135219-55-5P 135219-56-6P **135219-57-7P**
135219-58-8P **135219-59-9P** 135219-60-2P **135219-61-3P**
135219-62-4P **135270-54-1P** 135355-22-5P **141187-11-3P**
141187-12-4P 141187-13-5P 141187-14-6P
141187-15-7P 160772-54-3P 160772-55-4P 160866-54-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(endopeptidase inhibiting poststatin deriv.)
IT 135219-43-1P, Poststatin
RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(endopeptidase inhibitor poststatin from Streptomyces viridochromonogenes)
IT 37205-61-1, Proteinase inhibitor
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(endopeptidase inhibitor poststatin from Streptomyces viridochromonogenes)
IT 9047-22-7, Cathepsin B 72162-84-6, Prolylendopeptidase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; endopeptidase inhibitor poststatin from Streptomyces viridochromonogenes)
IT 84111-38-6P 135219-70-4P 135219-71-5P 135219-72-6P 135219-73-7P
135219-74-8P 141187-09-9P 141406-78-2P 160772-56-5P 160772-57-6P
160913-65-5P 160913-66-6P 160913-67-7P 160913-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and utilization in peptide synthesis)
IT **135219-44-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(endopeptidase inhibiting poststatin deriv.)

RN 135219-44-2 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

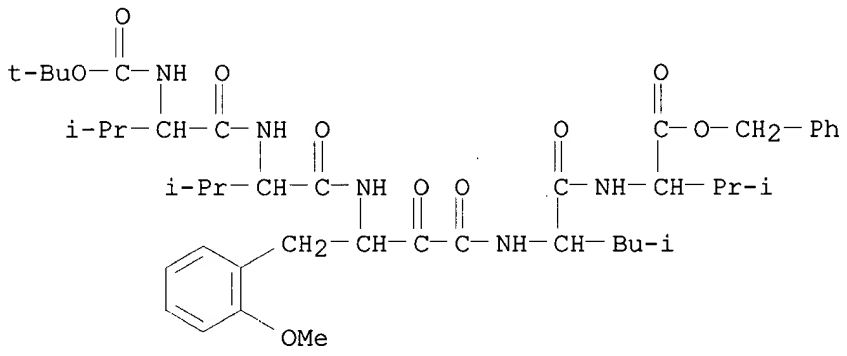


L43 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:612981 HCAPLUS
DN 117:212981
TI Preparation of peptides containing .beta.-amino-.alpha.-ketoacid groups as protease inhibitors
IN Yamada, Fumika; Sugimura, Hideo; Someno, Tetsuya; Muraoka, Yasuhiko; Tsuda, Makoto; Takeuchi, Tomio; Aoyanagi, Takaaki
PA Nippon Kayaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07C237-22
ICS A61K037-02; C07C271-22; C07K005-06
ICA C12N009-99
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04149166	A2	19920522	JP 1990-272183	19901012 <--
PRAI JP 1990-272183		19901012 <--		

OS MARPAT 117:212981
AB XNHCHRCOCOY [I; X = H, amino, (un)protected peptide or amino acid residue; Y = (un)protected peptide or amino acid residue; R = (un)substituted Ph or naphthyl] are prepd. as protease inhibitors (no data). Thus, N-acylation of threo-3-amino-2-hydroxy-4-(o-methoxyphenyl)butyric acid with di-tert-Bu dicarbonate in 1N NaOH and dioxane and condensation of the resultant threo-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butyric acid (64.5% yield) with H-D-Val-Val-OCH2Ph.CF3CO2H in the presence of 1-hydroxybenzotriazole and DCC in CH2Cl2 gave 80.5% N-[(3RS)-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester which was oxidized with pyridine trifluoroacetate, DCC, and DMSO in benzene to give 73.1% N-[(3RS)-3-tert-butoxycarbonylamino-2-oxo-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester. A total of 18 I were prepd.
ST peptide aminoketoacyl prepn protease inhibitor
IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(arylaminooxobutyric acid-contg., prepn. of, as protease inhibitors)
IT 9001-92-7, Protease

RL: USES (Uses)
(inhibitors, arylaminooxobutyric acid-contg. peptides)
IT 144139-08-2P 144139-09-3P 144139-10-6P 144139-11-7P 144139-12-8P
144139-13-9P 144139-14-0P 144139-15-1P 144139-16-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for peptide protease inhibitor)
IT 144179-41-9P 144179-42-0P 144179-43-1P 144179-54-4P 144179-55-5P
144179-56-6P 144179-57-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for protease inhibitor)
IT 144138-91-0P 144138-92-1P 144138-93-2P 144138-94-3P 144138-95-4P
144138-96-5P 144138-97-6P 144138-98-7P 144138-99-8P 144139-00-4P
144139-01-5P 144139-02-6P 144139-03-7P 144139-04-8P
144139-05-9P 144139-06-0P 144139-07-1P 144139-17-3P 144179-34-0P
144179-35-1P 144179-36-2P 144179-37-3P 144179-38-4P 144179-39-5P
144179-40-8P 144179-44-2P 144179-45-3P 144179-46-4P 144179-47-5P
144179-48-6P 144179-49-7P **144179-50-0P 144179-51-1P**
144179-52-2P 144179-53-3P 144239-26-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as protease inhibitor)
IT 1161-13-3 13734-41-3 24424-99-5, Di-tert-butyl dicarbonate
76476-38-5 76476-50-1 141403-96-5, D-Leucyl-L-valine benzyl ester
trifluoroacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of peptide protease inhibitor)
IT **144139-01-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as protease inhibitor)
RN 144139-01-5 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-L-valyl-4-(2-methoxyphenyl)-2-oxo-(R)-3-aminobutanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



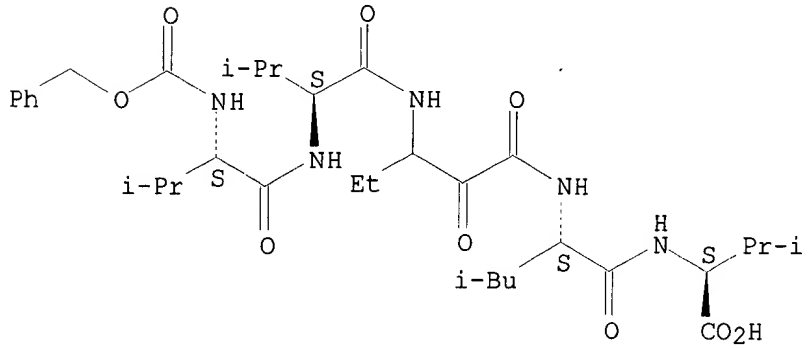
L43 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:236175 HCAPLUS
DN 116:236175
TI Preparation of peptides containing 3-amino-2-oxoalkanoic acid residue as endopeptidase inhibitors
IN Takeuchi, Tomio; Aoyanagi, Takaaki; Muraoka, Yasuhiko; Tsuda, Makoto; Nagai, Machiko
PA Microbiochemical Research Foundation, Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07B041-06
ICS C07C271-18; C07D207-16; C07K001-02; C07K005-06

ICA A61K037-64; B01J031-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04001140	A2	19920106	JP 1990-99174	19900413 <--
PRAI	JP 1990-99174		19900413 <--		

OS MARPAT 116:236175
AB Peptides contg. NHCHR1COCO (R1 = satd. or unsatd. hydrocarbyl) fragments are prepd. Et3N and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide perchlorate were added to a soln. of Boc-D-Leu-OH. H2O, L-valine benzyl ester tosylate, and N-hydroxybenzotriazole in CH2Cl2 under cooling and the mixt. was stirred at room temp. to give 97.8% Boc-D-Leu-Val-OCH2Ph, which as the CF3CO2H salt was coupled with (2R,3S)-3-p-methoxybenzyloxycarbonyl)amino-2-hydroxypentanoic acid and further coupled with valine twice, and subsequent oxidn., to give Z-Val-Val-(S)-NHCHEtCOCO-D-Leu-Val-OCH2Ph, which showed IC50 of 1 .mu.g/mL against prolyl endopeptidase, 75 .mu.g/mL against elastase, and 100 .mu.g/mL against cathepsin B.
ST aminooxoalkanoyl peptide prepn endopeptidase inhibitor
IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(aminooxoalkanoic acid-contg., prepn. of, as endopeptidase inhibitors)
IT 9001-92-7, Endopeptidase
RL: USES (Uses)
(inhibitors, aminooxoalkanoyl peptides)
IT 135219-63-5P 135219-65-7P 135219-67-9P 135219-70-4P 135219-71-5P 141187-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of endopeptidase inhibitor)
IT 135219-43-1P 135219-45-3P 135219-46-4P 135219-47-5P 135219-48-6P
135219-49-7P 135219-50-0P 135219-52-2P 135219-53-3P
135219-54-4P 135219-55-5P 135219-56-6P **135219-57-7P**
135219-58-8P **135219-59-9P** 135219-60-2P **135219-61-3P**
135219-62-4P **135270-54-1P** 135355-22-5P 141187-10-2P
141187-11-3P **141187-12-4P** **141187-13-5P**
141187-14-6P 141187-15-7P **141270-17-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as endopeptidase inhibitor)
IT 16652-76-9, Valine benzyl ester tosylate 16937-99-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of endopeptidase inhibitor)
IT **135219-49-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as endopeptidase inhibitor)
RN 135219-49-7 HCAPLUS
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:490647 HCAPLUS
DN 115:90647
TI Microbial preparation of postostatin and chemical synthesis of related compounds
IN Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa; Naganawa, Hiroshi; Muraoka, Yasuhiko; Ogawa, Keiji; Nagai, Machiko; Tsuda, Makoto
PA Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai, Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07K005-08
ICS C12P021-02; A61K037-02
ICI C12P021-02, C12R001-465
CC 16-2 (Fermentation and Bioindustrial Chemistry)
Section cross-reference(s): 7, 34, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	WO 9012805	A1	19901101		WO 1990-JP491	19900413	<--
	W: JP, US						
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE						
	EP 423358	A1	19910424		EP 1990-905686	19900413	<--
	R: DE, FR, GB, IT						
	EP 672648	A1	19950920		EP 1995-106762	19900413	<--
	EP 672648	B1	19980923				
	R: DE, FR, GB, IT						
	US 5162500	A	19921110		US 1990-613759	19901207	<--
PRAI	JP 1989-94328		19890415	<--			
	EP 1990-905686		19900413	<--			
	WO 1990-JP491		19900413	<--			

OS MARPAT 115:90647
AB Postostatin (I) and its analogs X-NHCR1HCOCOY ((protected peptide residues, (NH2-protected) amino acid residues; R1 = (un)satd. hydrocarbons; configuration of R1-bonded C atom is S or RS; Y = (protected peptide residues,s (HO2C-protected) amino acid residues), potent endopeptidase inhibitors having pharmaceutical applications, are manufd. by Streptomyces or by chem. synthesis. S. viridochromogenes was cultured by conventional methods at 27.degree. for 4 days. From 12.5-L culture filtrate, I 20 mg was recovered after a series of chromatog. and HPLC. I (m.p. 169-171.degree.) having a defined peptide structure was also characterized with IR and NMR. Chem. synthesis of I and a variety of analogs, e.g. Z-L-phenylalanyl-(RS)-3-amino-2-oxopentanoyl-D-leucyl-L-valine tert Bu ester from amino acids and evaluation of their activities against elastase, cathepsin B, prolyl endopeptidase were also described. A pharmaceutical tablet compn. contg. I was given.

ST Streptomyces postostatin manuf; postostatin analog synthesis; endopeptidase inhibitor postostatin

IT Molecular structure, natural product
(of postostatin)

IT Nomenclature, new natural products
(postostatin (peptide))

IT Streptomyces
Streptomyces viridochromogenes
(postostatin manuf. with, as endopeptidase inhibitor)

IT Fermentation
(postostatin, with Streptomyces, as endopeptidase inhibitor)

IT Amnesia
(treatment of, postostatin and analogs as endopeptidase inhibitor for)

IT Disease
(autoimmune, treatment of, postostatin and analogs as endopeptidase inhibitor for)

IT Pharmaceutical dosage forms
(tablets, postostatin-contg.)

IT 9001-92-7, Endopeptidase 9004-06-2, Elastase 9047-22-7, Cathepsin B 72162-84-6, Prolyl endopeptidase
RL: BIOL (Biological study)
(inhibitors of, postostatin and analogs as)

IT 135219-43-1P, Postostatin
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manuf. of, with Streptomyces, as endopeptidase inhibitor)

IT **135219-44-2P** 135219-45-3P 135219-46-4P 135219-47-5P
135219-48-6P **135219-49-7P** 135219-50-0P 135219-51-1P
135219-52-2P 135219-53-3P **135219-54-4P** 135219-55-5P
135219-56-6P **135219-57-7P** 135219-58-8P **135219-59-9P**
135219-60-2P **135219-61-3P** 135219-62-4P **135270-54-1P**
135355-22-5P
RL: PREP (Preparation)
(postostatin analog, prepn. of, as endopeptidase inhibitor)

IT 13081-32-8P 135125-26-7P 135125-27-8P 135125-28-9P 135219-63-5P
135219-65-7P 135219-67-9P 135219-70-4P 135219-71-5P 135219-72-6P
135219-73-7P 135219-74-8P 135219-75-9P 135219-76-0DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of, in prepn. of postostatin analogs)

IT 135219-43-1DP, analogs
RL: PREP (Preparation)
(prepn. of, as endopeptidase inhibitors)

IT 6066-82-6 13518-40-6 28862-79-5 41840-29-3 68858-20-8D, resin-bound 135219-63-5 135219-64-6 135219-66-8 135219-69-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of, in prepn. of postostatin analogs)

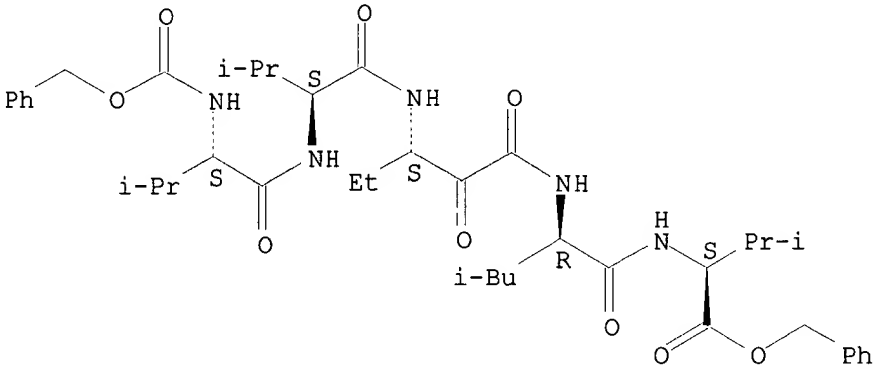
IT 16652-76-9 16937-99-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of, in prepn. postostatin analogs as endopeptidase inhibitor)

IT **135219-44-2P**
RL: PREP (Preparation)
(postostatin analog, prepn. of, as endopeptidase inhibitor)

RN 135219-44-2 HCAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-(3S)-3-amino-2-oxopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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               SEL RN

     FILE 'REGISTRY' ENTERED AT 14:00:01 ON 19 AUG 2003
L2           309 S E1-E309
L3               STR
L4            46 S L3
L5           672 S L3 FUL
               SAV L5 MOND909/A
L6           177 S L2 AND L5
L7           132 S L2 NOT L6
L8            16 S L7 AND (C34H49N5O11 OR C41H58N6O8 OR C39H58N6O8 OR C39H47F4N5
L9            21 S L7 AND SQL/FA NOT L8
L10            1 S L9 AND C33H54N6O10
L11          194 S L6,L8,L10
               SAV L11 MON909A/A
L12          495 S L5 NOT L11
L13           79 S L12 NOT SQL/FA
L14            1 S L13 AND C26H42N4O6
L15              STR L3
L16           28 S L15 SAM SUB=L5
L17          445 S L15 FUL SUB=L5
               SAV L17 MON909B/A
L18              STR L15
L19           28 S L18 SAM SUB=L17
L20          445 S L18 FUL SUB=L17
               SAV L20 MON909C/A
L21              STR L18
L22          336 S L21 CSS FUL SUB=L20
               SAV L22 MON909D/A
L23          200 S L22 NOT L11

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L24            2 S L11
L25            2 S L24 AND (SCHERING? OR CORVAS? OR PLOUGH?)/PA,CS
L26            2 S L24 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR BOGEN ? OR LOVEY ?
L27            2 S L24 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L28            2 S L24-L27
L29           17 S L23
L30            4 S L29 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L31            4 S L29 AND (SCHERING? OR CORVAS? OR PLOUGH?)/PA,CS
L32            5 S L29 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR BOGEN ? OR LOVEY ?
L33            5 S L30-L32
L34           12 S L29 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L35            6 S L28,L33
L36           10 S L34 NOT L35

     FILE 'REGISTRY' ENTERED AT 14:50:02 ON 19 AUG 2003
L37            1 S 149885-80-3

     FILE 'HCAPLUS' ENTERED AT 14:50:40 ON 19 AUG 2003
L38          292 S L37
L39          437 S NS3()(PROTEASE OR PROTEINASE OR HELICASE OR SERINE PROTEASE O
L40           69 S CPRO 2()(PROTEINASE OR PROTEASE) OR HEPACIVIRIN# OR NS3()NS4A
L41            0 S (EC OR "E C")()3 4 21 98
L42           92 S (PROTEINASE OR PROTEASE)()NS3
L43            5 S L35 AND L38-L42
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L44             6 S L35,L43
L45             1 S L36 AND L38-L42
L46             6 S L44 AND ?HEPATIT?
L47             6 S L44 AND HCV
L48             7 S L45,L47
L49             2 S L36 AND (?HEPATIT? OR HCV)
L50             2 S L45,L49
L51             8 S L36 NOT L50

     FILE 'REGISTRY' ENTERED AT 14:59:25 ON 19 AUG 2003

     FILE 'HCAPLUS' ENTERED AT 14:59:42 ON 19 AUG 2003
L52             8 S L44-L50
L53             8 S L51 NOT L52

     FILE 'REGISTRY' ENTERED AT 15:01:28 ON 19 AUG 2003
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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              0.80     621.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              0.00     -12.37

STN INTERNATIONAL LOGOFF AT 15:02:40 ON 19 AUG 2003
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               DEL HIS

     FILE 'REGISTRY' ENTERED AT 15:34:04 ON 19 AUG 2003
               ACT MON909A/A
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L1   (       309)SEA FILE=REGISTRY ABB=ON  PLU=ON  (393581-77-6/BI OR 393581-82-
L2               STR
L3   (       672)SEA FILE=REGISTRY SSS FUL L2
L4   (       177)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND L3
L5   (       132)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 NOT L4
L6   (        16)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND (C34H49N5O11 OR C41H58
L7   (        21)SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND SQL/FA NOT L6
L8   (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  L7 AND C33H54N6O10
L9           194 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L4 OR L6 OR L8)
               ---------
               ACT MON909C/A
               ---------
L10              STR
L11  (       672)SEA FILE=REGISTRY SSS FUL L10
L12              STR
L13  (       445)SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14              STR
L15          445 SEA FILE=REGISTRY SUB=L13 SSS FUL L14
               ---------
               ACT MON909D/A
               ---------
L16              STR
L17  (       672)SEA FILE=REGISTRY SSS FUL L16
L18              STR
L19  (       445)SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L20              STR
L21  (       445)SEA FILE=REGISTRY SUB=L19 SSS FUL L20
L22              STR
L23          336 SEA FILE=REGISTRY SUB=L21 CSS FUL L22
               ---------
L24          268 S L15 NOT L9
L25           68 S L24 NOT L23
L26          200 S L23 NOT L9

     FILE 'HCAPLUS' ENTERED AT 15:41:29 ON 19 AUG 2003
L27            2 S L9
L28           17 S L26
L29           24 S L24,L25
L30            1 S L27 AND L28,L29
L31            2 S L27,L30
L32           23 S L28,L29 NOT L31
L33           18 S L32 AND (PD<=20000721 OR PRD<=20000721 OR AD<=20000721)
L34            2 S L33 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L35            2 S L33 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L36            2 S L33 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L37            2 S L31 AND (SAKSENA ? OR GIRIJAVALLABHAN ? OR GIRIJAVALLABHN? OR
L38            2 S L31 AND (NJOROGE ? OR GANGULY ? OR VACCARO ? OR KEMP ? OR LEV
L39            2 S L31 AND (SCHERING? OR PLOUGH? OR CORVAS?)/PA,CS
L40            4 S L31,L34-L39
L41           16 S L33 NOT L40
L42            9 S L41 NOT P/DT
L43            7 S L41 NOT L42

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FILE 'HCAPLUS' ENTERED AT 15:47:30 ON 19 AUG 2003
SET COST ON

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	105.83	138.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.02	-13.02

STN INTERNATIONAL LOGOFF AT 15:49:37 ON 19 AUG 2003